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INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

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(51) International Patent Classification 5:		(11) International Publication Number:	WO 91/10653	
C07D 239/52, 251/20, 401/06 A01N 43/54, 43/66	A1	(43) International Publication Date:	25 July 1991 (25.07.91)	

(21) International	Application Number:	PCT/US90/07417

(22) International Filing Date: 27 December 1990 (27.12.90)

(30) Priority data:

463,356 11 January 1990 (11.01.90) US 542,390 22 June 1990 (22.06.90) US

(60) Parent Applications or Grants (63) Related by Continuation

US 463,356 (CIP)
Filed on 11 January 1990 (11.01.90)
US 542,390 (CIP)
Filed on 22 June 1990 (22.06.90)

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(81) Designated States: AT (European patent), AU, BE (European patent), CA, CH (European patent), DE (European patent), DK (European patent), ES (European patent), FR (European patent), GB (European patent), GR (European patent), IT (European patent), JP, LU (European patent), NL (European patent), SE (European patent), US.

Published

With international search report.

Before the expiration of the time limit for amending the claims and to be republished in the event of the receipt of amendments.

(54) Title: HERBICIDAL PYRIMIDINES AND TRIAZINES

(57) Abstract

This invention relates to certain herbicidal sulfonylure pyrimidines and triazines useful for complete control and/or selective control of vegetation with the selectivity being important to agronomic crops.

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TITLE

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HERBICIDAL PYRIMIDINES AND TRIAZINES Related Applications

This is a continuation-in-part of U.S. Serial No. 07/542390 filed June 22, 1990 which is a continuation-in-part of U.S. Serial No. 07/463,356 filed January 11, 1990.

Background of the Invention

This invention relates to certain herbicidal pyrimidines and triazines, agriculturally suitable compositions thereof and a method for their use as general or selective preemergent or postemergent herbicides or as plant growth regulants.

New compounds effective for controlling the growth of undesired vegetation are in constant demand. In the most common situation, such compounds are sought to selectively control the growth of weeds in useful crops such as cotton, rice, corn, wheat and soybeans, to name a few. Unchecked weed growth in such crops can cause significant losses, reducing profit to the farmer and increasing costs to the consumer. In other situations, herbicides are desired which will control all plant growth.

Examples of areas in which complete control of all vegetation is desired are areas around railroad tracks, storage tanks and industrial storage areas.

There are many products commercially available for these purposes, but the search continues for products which are more effective, less costly and environmentally safe.

JP Kokai Hei 1[1989]-301668 discloses mandelic acid derivatives as herbicides:

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J. Chem. Res.(S) 1977, 186 discloses benzyl pyrimidines as intermediates to herbicides but includes no herbicidal test data for these intermediates.

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JP KORAI HEI 2[1990]-56469 (unofficial English translation) discloses as herbicides the following structures:

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wherein, inter alia

Z is CH or N;

R is a formyl group or CO_2R^1 ; and

R¹ is H, lower alkyl, lower alkoxyalkyl or lower alkylthioalkyl.

20

EP-A-360,163 discloses herbicidal compounds of the formula:

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SUMMARY OF THE INVENTION

This invention pertains to compounds of Formula I including all geometric and stereoisomers, agriculturally suitable salts, agricultural compositions containing them and their method-of-use for the control of unwanted weeds both preemergence and postemergence.

15

$$Q \longrightarrow \bigcup_{N=-\infty}^{R^3} Z$$

20

I

wherein

25

Q is

30

$$\mathbb{R}^{6} \xrightarrow{\overset{\mathsf{X}}{\bigcap}}_{\mathbb{R}^{1}} , \quad \mathbb{R}^{6} \xrightarrow{\overset{\mathsf{X}}{\bigcap}}_{\mathbb{C}^{1}}_{\mathbb{C}^{1}}$$

CH—

CH—

R⁵

(O) m

, 15

0-6

25

$$\begin{array}{c|c}
 & X & R^1 \\
 & CH & CH & CH \\
 & X & R^1
\end{array}$$
Q-7 Q-8

5

15

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A is CR², N or N-O; X is H, F, C1, CH₃, OH, C(0)NR¹²R¹³, CO_2R^{14} or 20 CN; R^1 is H, CHO, C(OCH₃)₂H, CO_2R^5 or C(O)SR¹¹; R^2 is H, F, C1, C_1 - C_2 alkyl, C_1 - C_2 -alkoxy, C_2-C_3 alkynyl, C_2-C_3 alkenyl, $S(0)_nC_1-C_2$ 25 alkyl, NO2, phenoxy, C2-C4 alkylcarbonyl, $C(OCH_3)_2CH_3$, or $C(SCH_3)_2CH_3$; R^3 is C_1-C_2 alkyl, C_1-C_2 alkoxy, OCF₂H or Cl; R^4 is C_1-C_2 alkyl; R^5 is H; M; C_1-C_3 alkyl; C_2-C_3 haloalkyl; 30 allyl; propargyl; benzyl optionally substituted with halogen, C_1-C_2 alkyl, C_1-C_2 alkoxy, CF_3 , NO_2 , SCH_3 , $S(O)CH_3$, or $S(0)_2CH_3$; C_2-C_4 alkoxyalkyl; $N=CR^7R^8$; or CHR 9 S (0) nR 10; R^6 is H, F, C1, CH_3 , OCH_3 or $S(O)_nCH_3$;

 R^7 is C1, C_1-C_2 alkyl or SCH_2 ; R^8 is C_1-C_2 alkyl, $CO_2(C_1-C_2$ alkyl) or C(0)N(CH₃)₂; 5 R^9 is H or CH_3 ; R^{10} is C_1-C_3 alkyl or phenyl optionally substituted with halogen, CH3, OCH3 or NO2; R^{11} is C_1-C_2 alkyl or benzyl; R¹² is H or CH₃; 10 R¹³ is H or CH₃; R^{14} is H, C_1 - C_3 alkyl, C_2 - C_5 haloalkyl, C_3 - C_5 alkenyl, C_3-C_5 alkynyl, C_2-C_5 alkoxyalkyl or benzyl optionally substituted with CH3, OCH_3 , SCH_3 , halogen, NO_2 or CF_3 ; 15 m is 0 or 1: n is 0, 1 or 2; M is a alkali metal atom or an alkaline earth metal atom, an ammonium group or an 20 alkylammonium group; and Z is CH or N. and their agriculturally suitable salts; provided that: (a) when R^1 is H, then X is CO_2R^{14} ; (b) when X is CO_2R^{14} , then R^1 is H; and 25 (c) when Z is N, then R^3 is C_1-C_2 alkyl or C_1-C_2 alkoxy.

In the above definitions, the term "alkyl",

used either alone or in compound words such as
"haloalkyl" includes straight chain or branched
alkyl, e.g., methyl, ethyl, n-propyl, isopropyl or
the different butyl isomers.

"Alkoxy", "alkenyl" and "alkynyl" analogously also includes straight chain or branched isomers.

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"Halogen", either alone or in compound words

such as "haloalkyl", means fluorine, chlorine,
bromine or iodine. Further, when used in compound
words such as "haloalkyl" said alkyl may be partially
or fully substituted with halogen atoms, which may be
the same or different. Examples include CF₃, CH₂CF₃,

CH₂CH₂F, CF₂CF₃ and CH₂CHFC1.

The preferred compounds of the invention for reasons including ease of synthesis and/or greater herbicidal efficacy are:

- Compounds of Formula I wherein
 Q is Q-1 or Q-2;
 - 2. Compounds of <u>Preferred 1</u> wherein R² is H, F, Cl, CH₃, SCH₃, OCH₃ or OCH₂CH₃;

3. Compounds of <u>Preferred 2</u> wherein R⁶ is H;
Z is CH;
R³ is OCH₃;
R⁴ is CH₃; and

4. Compounds of <u>Preferred 2</u> wherein

X is H;

 R^6 is H or 3-F; Z is CH; R^3 is OCH₃; R^4 is CH₃; X is CO_2R^{14} ; and R^{14} is C_1 - C_3 alkyl, allyl, propargyl or benzyl;

20

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- 5. Compounds of <u>Preferred 3</u> wherein Q is Q-1;

 R¹ is CO₂R⁵; and

 R⁵ is H or M;
- 6. Compounds of <u>Preferred 3</u> wherein Q is Q-2;
 R¹ is CO₂R⁵; and R⁵ is H or M;
- 7. The compound of <u>Preferred 5</u> which is 2-[(4,6-dimethoxy-2-pyrimidinyl)methyl]-6-methyl-benzoic acid;
 - The compound of <u>Preferred 2</u> which is 2-[cyano(4,6-dimethoxy-2-pyrimidinyl)methyl]benzoic acid;
 - 9. The compound of <u>Preferred 5</u> which is 2-[(4,6-dimethoxy-2-pyrimidinyl)methyl]-6methyl benzoic acid, sodium salt;
- 25 10. The compound of <u>Preferred 5</u> which is 2-[(4,6-dimethoxy-2-pyrimidinyl)methyl]-3-pyridine carboxylic acid;
- 11. The compound of <u>Preferred 4</u> which is ethyl
 4,6-dimethoxy-alpha-phenyl-2pyrimidineacetate.

The compounds of this invention are biologically active as herbicides both post and preemergent with selectivity to crops including barley, wheat, corn and cotton.

Detailed Description of the Invention

Synthesis

The compounds of Formula I can be prepared by one or more of the following methods described in Equations 1 to 4.

The compounds of Formula I can be prepared by the reaction of an anion, formed from intermediate II and a base, with heterocycle III as shown in Equation 1.

Equation 1

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Q-H + base +
$$Y \longrightarrow X$$
 $Z \longrightarrow I$ OR^4

II

III

25

wherein:

- Q-1 to Q-6, Z, \mathbb{R}^3 and \mathbb{R}^4 are as previously defined;
- Y is C1, Br, I, SO₂CH₃ and SO₂benzyl; and within the values of Q, R¹ is C(OMe)₂H, CH₂OH, CO₂R⁵ or C(O)N(H, alkyl)(alkyl, silylalkyl); and

X is H.

The reaction wherein a benzylic anion is formed, is best carried out in a dry inert solvent

such as hexane, benzene, diethyl ether or tetrahydrofuran (THF). Appropriate bases include 5 hindered amine bases, such as lithium diisopropylamide (LDA) or alkyllithiums, such as methyllithium or magnesium salts, such as ethyl magnesium bromide. When R1 contains an acidic group, a second equivalent base is required. Formation of 10 benzylic anions is further taught by Y. Thebtaranonth et al in <u>Synthesis</u>, 1986, 785; in <u>Tet. Let.</u>, 1989, 30, 3861; J. Staunton et al. in J. Chem. Soc. Perkin Trans. I, 1984, 1043-1051, and F. Hauser et al. Synthesis, 1980, 72. The reaction can be carried out from low temperatures -78°C (dry ice/acetone) up to 15 the reflux point of the solvent. Generally, a lower temperature is preferred for anion formation, while the coupling of the anion II and III proceeds readily at higher temperatures.

20 When the reaction is judged complete, it is worked up in one of two manners, depending on the \mathbb{R}^1 group. If R1 contains an acidic group such as CO2H, then the reaction is extracted into aqueous base, and the water layer acidified. Alternately, the carboxylate can be alkylated in situ to give an alkyl 25 or benzyl ester. The product is either collected by filtration or extracted with an organic solvent and concentrated. The residue is further purified by trituration, crystallization or chromatography in the appropriate solvent. If the R1 group contains no 30 acidic group, i.e., an isopropylester, then the reaction is quenched with brine, the organic layer separated and concentrated followed by the appropriate purification to give the desired product.

35 The compounds of Formula I can be prepared by the reaction of a cyanomethyl derivative <u>IV</u> with

heterocycle <u>III</u> as shown in Equation 2a followed by oxidation, then reduction to give the alcohol, which can be converted to the halomethyl derivative (X is F or Cl), or further reduced to the methylene derivative (X is H).

Equation 2

I

 $X=CO_2H$ or $C(O)NH_2$

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2a)
$$T-CH_2CN + III \longrightarrow I$$

IV $X=CN$

2b) $I + [0] \longrightarrow T-C \longrightarrow Z$
 $X=CN$

20

2c)
$$V \longrightarrow I$$
 $X=OH$

2d) $I \longrightarrow I$
 $X=OH \longrightarrow X=F \text{ or } C1$

30 2e) $V \longrightarrow I$
 $X=H$

35

2f)

I

X=CN

13

2g) I
$$\longrightarrow$$
 I $X=CO_2R$ or $C(O)NR^{12}R^{13}$ R is equivalent to R^5

2h) I
$$\longrightarrow$$
 I $X=CO_2H$ or CO_2R $X=H$

10 2i)
$$TCH_2CO_2R^{14} + III \longrightarrow I$$

$$X=CO_2R^{14}$$

wherein:

T is

15

20
$$\mathbb{R}^6 \longrightarrow \mathbb{R}^1$$
 $\mathbb{R}^6 \longrightarrow \mathbb{R}^1$ $\mathbb{R}^6 \longrightarrow \mathbb{R}^1$ $\mathbb{R}^6 \longrightarrow \mathbb{R}^1$ $\mathbb{R}^6 \longrightarrow \mathbb{R}^1$ $\mathbb{R}^6 \longrightarrow \mathbb{R}^1$

25

$$\mathbb{R}^{6} \xrightarrow{\mathbb{R}^{1}} \mathbb{R}^{6} \xrightarrow{\mathbb{R}^{2}} \mathbb{R}^{6} \xrightarrow{\mathbb{R}^{2}} \mathbb{R}^{6}$$

10
$$\mathbb{R}^6$$
, \mathbb{R}^6 , \mathbb{R}^6 or

 R^1 is $C(OMe)_2H$, Br, CN, $CH_2OSiMe_2CMe_3$ or CO_2R^5 ; R^5 is H, M, $CHMe_2$ or CMe_3 ; and A and R^6 are as previously defined.

The reaction of Equation 2a wherein Y is Cl or Br can be conveniently carried out under $S_{\rm rn}l$ conditions by preparing a mixture of one equivalent or more of potassium metal, a catalytic amount of an iron compound, i.e., ferric nitrate, in liquid

ammonia. The arylacetonitrile IV is added followed by the dropwise addition of the haloheterocycle III,

- with concomitant irradiation from a photoreactor lamp which emits maximally at 350 nm. The reaction is irradiated from 1 to 24 hours, then the reaction is quenched with solid ammonium chloride, the ammonia is allowed to slowly evaporate. The residual material
- is rinsed with diethylether and the filtrate is subjected to purification by recrystallization or chromatography to give the desired product.

 Procedures can be adapted from J. F. Wolfe et al., J. Het. Chem., 1987, 24, 1061.
- Alternatively, the reaction of Equation 2a, wherein Y is Cl, Br, I, CH₃SO₂ or PhCH₂SO₂, is carried out under basic conditions.

The starting materials can be premixed in an inert solvent such as diethylether, THF or

- dimethylformamide (DMF) solvent when Y is halogen, followed by addition of a strong base, such as an alkali metal hydride, i.e., NaH, or a hindered metallated base, i.e., LDA or potassium t-butoxide.
- Another order of addition for any Y value can be the formation of the anion of acetonitrile <u>IV</u> in an inert solvent, followed by its addition to the heterocycle in an inert solvent. Yields are generally increased with the use of dry solvents and dry inert atmospheres, with temperatures that range from -78°C
- to the solvent reflux point. The reaction is neutralized and the product is isolated by chromatography or crystallization. Analogous reactions are taught by R. Y. Ning et al., J. Med. Chem., 1977, 20, 1312 and F. Sauter et al., J. Chem.
- 35 Res.(S), 1977, 186.

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Reactions 2a and 2b can be carried out concurrently by allowing the reaction to be exposed to oxygen in the atmosphere. The oxidation of I (X is CN) to a diaryl ketone V can be carried out by one of several procedures. S. Murahashi et al., Syn. Lett., 1989, 62, teach the oxidation of alkanenitriles with ruthenium catalyzed t-butyl hydroperoxide to give intermediate 2-(t-butyldioxy)-alkanenitriles, which are further oxidized by titanium tetrachloride.

Diarylketones V can be reduced directly to the diarylmethanes via Equation 2e by Wolff-Kishner conditions as taught by Cram et al., J. Am. Chem. Soc., 1962, 84, 1734; Clemmensen conditions as taught by Yamamura and Hirata, J. Chem. Soc. C, 1968, 2887;

The diarylketones <u>V</u> can also be reduced

stepwise to the alcohol, <u>I</u> (X=OH), with lithium
aluminum hydride or sodium borohydride. The alcohol
can be converted to the chloride with thionyl
chloride or methanesulfonyl chloride and
triethylamine and to the fluoride with "DAST"

(diethylaminosulfur trifluoride), see <u>Synthesis</u>,
1973, 787 and <u>J. Org. Chem.</u>, 1975, <u>40</u>, 574, as shown
in Equations 2c and 2d.

or hydrogenation with a catalyst such as $CuCr_2O_4$.

Cyanomethanes of Formula I (X=CN) can be converted to carboxylic acids and amides by hydrolysis with either base or acid, as shown in Equation 2f.

Carboxylic acids I (X=CO₂H) can be esterified or converted to amides by methods well known to a chemist skilled in the art.

Equation 2h shows that compounds of Formula I (X=CO₂R) can be decarboxylated to the methylene

bridged compounds. Such decarboxylations are well known in the art and generally are accomplished by heating the compound with or without solvent and with or without a catalyst.

Equation 2i is carried out in a similar fashion to 2a wherein an appropriate base is reacted with the aryl acetate followed by addition of heterocycle III.

The cyanomethanes and arylacetates of Formula

IV are either known in the art or prepared by simple
modifications thereof. Cyanomethanes are most
conveniently prepared by nucleophilic reaction of a
metal cyanide, i.e., NaCN, with a benzyl halide in a

15 suitable solvent, such as dimethylformamide,
dimethylsulfoxide or THF. The benzyl halides are
also well known, and easily prepared from II by
methods adapted from T. Eicher, Synthesis, 1988, 1,
525 and Clarke et al., J. Chem. Perkin Trans. I,
20 1984, 1501.

The compounds of Formula I can be prepared by a cross-coupling reaction between an aryl boronic acid and a bromomethyl heterocycle with a catalyst as shown in Equation 3.

25 Equation 3

30
$$T-B(OH)_2 + BrCH_2 \xrightarrow{N} Z + catalyst + base \longrightarrow I$$

$$OR^4$$

VII

35 **VI**

wherein:

T, Z, R³ and R⁴ are as previously defined;

R¹ is C(OMe₂)H, CH₂OH, CO₂R⁵ or CON(H,CH₃)(alkyl, alkylsilyl); and

R⁵ is H, M, isopropyl or t-butyl.

The reaction is carried out by mixing the bromide (VII) with a transition metal catalyst, such 10 as Ni(0) or Pd(0), preferably $Pd(PPh_3)_4$ in a suitable solvent, such as toluene or glyme, followed by the addition of boronic acid <u>VI</u> and the base, such as an alkoxide, hydroxide or carbonate, for example NaOEt, ${\tt NaOH}$ or ${\tt Na_2CO_3}$ in a suitable solvent such as water or 15 ethanol. The reaction mixture is stirred from 1 to 24 hours at room temperature to reflux. At completion, the reaction is filtered, and the filtrate is concentrated. The residue is partitioned between brine and an organic solvent (EtOAc, CH_2Cl_2), 20 separated, dried (Na_2SO_4 , $MgSO_4$), and concentrated, whereupon the product is isolated and purified, if necessary, by flash chromatography, recrystallization or distillation. Similar procedures and modifications can be found in Snieckus et al., 25 Tet. Let., 1987, 28, 5093; ibid., 1985, 26, 5997; Yamamoto et al., Synthesis, 1986, 564; Suzuki et al. Synth. Comm., 1981, 11, 513 and references incorporated therein.

Formation of aryl boronic acids, <u>VI</u>, is well known in the art. They can be prepared by contacting an aryl organo metallic compound with B(OMe)₃ followed by acidic workup, as in <u>J. Org. Chem.</u>, 1984, <u>49</u>, 5237 and <u>Tetrahedron</u>, 1983, <u>39</u>, 1955; or by reaction of an arylsilane with BBr₃, followed by

addition of methanol, then dilute acid, as described in <u>Tet. Let.</u>, 1987, <u>28</u>, 5093.

Bromomethanes <u>VII</u> can be prepared by well known methods for conversion from alcohols and from methyl groups. A representative example is described in <u>J. Het. Chem.</u>, 1989, <u>26</u>, 913.

Compounds of Formula I, wherein Z is CH, can be prepared by the route shown in Equation 4.

Equation 4

15

$$NH_2 \cdot C1^ CNH_2$$
 CNH_2
 CNH_2
 CH_3 or OCH_3
 CH_3 , OH
 CH_3 , OH
 CH_3
 CH_3

(Z is CH)

25

The reaction is carried out by reacting IV with

hydrogen chloride in an alcohol to form an imidate
which is converted to the amidine salt, VIII, with
ammonia. The pyrimidinol IX is formed by
condensation with a diketone/ester. This sequence of
reactions and similar modifications can be found in

H. C. van der Plas et al., Tetrahedron, 1989, 45,
6511-6518. Compounds of Formula IX can be converted

25

30

35

to instant compounds I by preparation of the chloropyrimidine with phosphorus oxychloride and a catalytic amount of DMF and subsequent displacement with sodium methoxide or ethoxide.

Heterocycles of Formula III are generally known in the art or can be prepared by simple modifications thereof. For example, preparation of chlorotriazines 10 is described in <u>J. Am. Chem. Soc.</u>, 1951, <u>73</u>, 2989, while chloropyrimidines are described in J. Chem. Soc. (C), 1966, 2031. General references, particularly to aminoheterocycles, can be found in "The Chemistry of Heterocyclic Compounds", a series 15 published by Interscience Publishers, Inc., New York and London. The alkylsulfonyl and benzylsulfonyl heterocycles can also be prepared by the general reference above and more specifically by alkylation of thiols, as described in J. Med. Chem., 1984, 27, 20 1621-1629, followed by oxidation, most commonly by m-chloroperoxybenzoic acid.

The arylmethanes of Formula II are known in the art or easily prepared by methods therein.

The R¹ groups of Equations 1 to 4 can be converted into the claimed R¹ groups by techniques well known to one skilled in the art. For example, benzyl alcohols can be oxidized to aldehydes with many reagents, including pyridinium chlorochromate (PCC) and/or further oxidized to the carboxylate with potassium permanganate (KMnO₄). A sample procedure involving a phase transfer reagent is found in Can. J. Chem., 1989, 67, 1381.

Additionally, conversion to and from various preferred R¹ groups are well known to one skilled in the art. Many are described in T. Greene, <u>Protective</u>

Groups in Organic Synthesis, 1981, John Wiley and Sons, New York.

Carboxylic acid salts of Formula I (R^1 is CO_2M) 5 can be prepared by reacting the carboxylic acid of Formula I (\mathbb{R}^1 is $\mathrm{CO}_2\mathrm{H}$) with a base in the presence or absence of a solvent within a temperature range from room temperature to the boiling point of the solvent from 5 minutes to 24 hours. The solvent may be a 10 hydrocarbon such as benzene or toluene, a halogenated hydrocarbon such as methylene chloride or chloroform, an alcohol such as methanol, ethanol or isopropanol, and other solvents, such as ethyl ether, THF, acetone, methyl ethyl ketone, ethyl acetate or 15 acetonitrile. The base may be an alkali metal such as sodium metal or potassium metal, an alkali metal or alkaline earth metal hydride such as sodium hydride, potassium hydride or calcium hydride, a carbonate such as sodium carbonate, potassium 20 carbonate or calcium carbonate, or a metal hydroxide such as sodium hydroxide or potassium hydroxide. organic base may be ammonia, an alkylamine (primary amine), a dialkylamine (secondary amine) or a

Example 1

2-[(4.6-Dimethoxy-2-pyrimidiny1)methy1]-6-methy1benzoic acid

trialkylamine (tertiary amine).

To a cooled (15°C) suspension of sodium hydride (8.79 g, 0.183 mol), prewashed with dry hexanes, in 300 mL anhydrous THF under an N₂ atmosphere was added 2,6-dimethylbenzoic acid (24.5 g, 0.166 mol), portionwise. Additional THF (300 mL) was added to facilitate stirring in the resultant slurry. Then 142 mL of 1.4 M methyllithium (0.199 mol) was added

dropwise at room temperature. One-twelfth of the resultant red solution (50 mL, 0.0138 mol), was added

- to 1.50 g of 4,6-dimethoxy-2-(methylsulfonyl)pyrimidine (0.068 mol) under N₂ at room temperature.
 After 4 hours, the reaction was diluted with 100 mL
 lN HCl and 100 mL brine. The layers were separated;
 the aqueous layer was extracted with 100 mL ethyl
- acetate. The combined organic layers were dried (MgSO₄), filtered and concentrated to give 2.5 g of a yellow oil. Addition of Et₂O gave a small amount of white precipitate, which was removed by filtration. The filtrate was subjected to flash column
- chromatography (40 mm x 6" of SiO₂), eluted with 25% ethyl acetate/hexanes (v/v), initially, then 50:49:1 ethyl acetate in hexane/methanol. The fractions containing product were collected and concentrated under reduced pressure. The resultant oil
- crystalized on standing to give 0.41 g solid, m.p. 122-124°C.

IR (nujol) = 1710 cm^{-1} .

Mass Spec. m/e = 289 (100, M+1).

PMR (200 MHz, CDCl₃) & 2.49 (s, CH₃, 3H), 3.5-3.9

25 (bs, OH, 1H), 3.93 (s, OCH₃, 6H), 4.15 (s, CH₂, 2H), 5.91 (s, pyrm-H, 1H), 7.0-7.3 (m, ArH, 3H).

Example 2

2-[Cyano(4.6-dimethoxy-2-pyrimidiny1)methyl]-

- 30 benzoic acid
 - a) To a suspension of 60% NaH (0.38 g, prewashed with hexanes) in 50 mL dry THF was simultaneously added methyl 2-cyanomethylbenzoate (1.6 g) and
- 35 4,6-dimethoxy-2-methylsulfonylpyrimidine (1.99 g) in dry THF. After addition, the reaction was refluxed

- for 2 days, then 1.0 g of potassium t-butoxide was added. After 1 day, the reaction was quenched with 25 mL of brine and neutralized with 1 N HCl. The organic layer was concentrated under reduced pressure to give 2.87 g of an oil. The oil was subjected to flash column chromatography (SiO₂), eluted with EtoAc/hexane (1:9) to give 0.75 g of solid, m.p.
- 10 79-81°C.

 PMR (200 MHz, CDCl₃) & 3.86 (s, OCH₃, 6H), 3.90 (s, OCH₃, 3H), 5.89 (s, pyrm-H, 1H), 6.74 (s, CHCN, 1H), 7.35-8.05 (m, ArH, 4H).
- b) The product of 2a (0.43 g) was dissolved in a solution of 1.6 mL of 12% aqueous NaOH and 12 mL of ethanol. After 12 hours, the reaction mixture was diluted with 15 mL of .4 M NaOH and washed with Et₂O. The aqueous layer was acidified, then
- extracted with EtOAc. The organic layer was concentrated under reduced pressure and the residue was triturated with butyl chloride to give 0.15 g solid, m.p. 214-216°C.
 - NMR (90 MHz, $CDCl_3$) & 3.9 (s, OCH_3), 6.0 (s,
- 25 pyrm-H, 1H), 6.9 (s, CHCN, 1H), 7.4-8.3 (m, ArH, 4H), 11.0 (bs, CO₂H, 1H).

Example 3

2-[(4.6-Dimethoxy-2-pyrimidinyl)methyl]-3-

30 pyridinecarboxylic acid

35

To a cooled (-78°C) suspension of 2-methylnicotinic acid (1.4 g, 10.2 mmol) in 100 mL dry THF was added 11.25 mL 1.95 M LDA dropwise. The reaction turned purple and warmed to -65°C. Allowed to recool to -78°C, then added 2-chloro-4,6-dimethoxy-pyrimidine (1.75 g, 10 mmol). The reaction was

30

35

allowed to warm to room temperature over 2 days. solvent was removed under reduced pressure. residue was partitioned between Et₂O and water, which 5 was basified to pH 8-9. The aqueous layer was acidified, then extracted with EtOAc, dried (MgSO4), and concentrated under reduced pressure to give 1.33 g of a brown oil. This oil was subjected to flash 10 column chromatography on SiO2, eluted with 97:2:1 (EtOAc:MeOH:HOAc), to give after trituration with BuCl/hexanes a solid, 0.29 g, m.p. 182-186°C. Mass Spec.: m/e 276 (100, MH^+). PMR (acetone- d_6 , 200 MHz) & 3.8 (s, OMe, 6H), 4.8 (s, CH_2 , 2H), 5.9 (s, pyrm-H, 1H), 7.4 (m) + 8.3 (m) + 15 8.7 (m)[pyrH, 3x 1H].

Example 4

4.6-Dimethoxy-α-phenyl-2-pyrimidineacetic acid. ethyl ester.

To a cooled (-78°C) solution of ethyl phenylacetate (0.79 ml, 5mmol) in 30 mL anhydrous THF under an N₂ atmosphere was added 2.86 mL of 1.9M LDA dropwise, followed by 1.0 g of 4,6-dimethoxy-2-methylsulfonylpyrimidine. The reaction mixture was allowed to warm to room temperature over 6 h then quenched with 20 mL brine and 5 mL of 1 NHC1. The layers were separated and the aqueous layer was extracted with ethyl acetate. The combined organic layers were washed once with brine, dried (MgSO₄), filtered and concentrated under reduced pressure to give 1.6 g of a brown oil. The oil was subjected to flash column chromatography (SiO₂), eluted with Et₂O/hexanes (1:9) to give 0.63g of the product as an oil. PMR (200 MH_Z, CDCl₃) & 1.24 (t, CH₃, 3H), 3.89

(S, OCH₃, 6H), 5.08 (S, CH, 1H), 5.89 (s, pyrmH, 1H), 7.2-7.6 (m, ArH, 5H).

Using the procedures of Equations 1 to 4 and Examples 1 to 4, the compounds of Tables 1 to 7 can be prepared by one skilled in the art.

TABLE 1

A	R ¹	R ⁶	A	<u>R</u> 1	<u>R</u> 6
N	2-CHO	н	СН	2-CHO	H
N	2-CHO	4-C1	CCH ³	2-CHO	H
N	2-CO ₂ H	H	CC1	2-CHO	H
N	2-CO ₂ H	4-F	COCH ³	2-CHO	H
N	2-CO ₂ H	5-0CH ₃	CH	2-CHO	4-F
N	2-CO ₂ H	6-SCH ₃	СН	2-CO ₂ H	4-F
N	2-CO ₂ CH ₃	н	CH	2-CO ₂ H	4-OCH ₃
N	2-CO ₂ CH ₂ CH=CH ₂	н	CH	2-CO ₂ H	4-0CH ₂ CH ₃
N	2-CO ₂ CH ₂ CECH	н	CC(OMe) ₂ CH ₃	2-CO2H	H
N	2-CO ₂ CH ₂ C ₆ H ₅	H .	COC ₆ H ₅	2-CO ₂ H	H
7.4	z-cozcnzc6n5	- 1	55-6-5		
N	2-CO ₂ CH ₂ -3-C1-C ₆ H ₄		CH	2-CO ₂ CH ₃	4-C1
				_	
N	2-CO ₂ CH ₂ -3-C1-C ₆ H ₄	H	CH	2-CO ₂ CH ₃ 2-CO ₂ CH ₃	
n	2-CO ₂ CH ₂ -3-C1-C ₆ H ₄ 2-CO ₂ Na	H H	СН	2-CO ₂ CH ₃ 2-CO ₂ CH ₃	4-SCH ₃ 6-SO ₂ CH ₃
n n	2-CO ₂ CH ₂ -3-C1-C ₆ H ₄ 2-CO ₂ Na 2-CO ₂ H•NH ₂ CHMe ₂	н	СН	2-CO ₂ CH ₃ 2-CO ₂ CH ₃ 2-CO ₂ CH ₃	4-SCH ₃ 6-SO ₂ CH ₃
n n n	2-CO ₂ CH ₂ -3-C1-C ₆ H ₄ 2-CO ₂ Na 2-CO ₂ H•NH ₂ CHMe ₂ 2-CO ₂ H	н н н	СН	2-CO ₂ CH ₃ 2-CO ₂ CH ₃ 2-CO ₂ CH ₃	4-SCH ₃ 6-SO ₂ CH ₃
и и и-о и	2-CO ₂ CH ₂ -3-C1-C ₆ H ₄ 2-CO ₂ Na 2-CO ₂ H•NH ₂ CHMe ₂ 2-CO ₂ H 4-CHO	н н н	СН	2-CO ₂ CH ₃ 2-CO ₂ CH ₃ 2-CO ₂ CH ₃	4-SCH ₃ 6-SO ₂ CH ₃

TABLE 2

$$CH_2 - V$$

$$CO_2R^5 - CH_3$$

R ⁵	R ²	R ³	Z	R ⁵	R ²	R ³	Z
H	H	CH ³	СН	H	осн2сн3	осн3	CH
H	H	Cl	CH	H	CECH	CH ³	СН
H	H	OCH ₃	CH	H	С≣СН	Cl	CH
H	F	CH3	CH	H	C≣CH	осн3	CH
H	F	Cl	СН	H	ECH3	СН3	CH
H	F	OCH ₃	CH	H	SCH3	Cl	СН
H	Cl	CH ³	CH	H	SCH3	OCH ³	CH
H	Cl	Cl	CH	H	Ħ	CH ₃	N
H	Cl	OCH3	CH	H	Ħ	CH ₂ CH ₃	N
H	CH ³	CH ₃	CH	. H	H	OCH ³	N
H	CH3	Cl	CH	H	F	CH ₃	N
H	CH ³	осн ³	CH	Ħ	F	CH ₂ CH ₃	N
H	CH ₂ CH ₃	CH ³	CH	Ħ	F	OCH ₃	N
H	CH ₂ CH ₃	Cl	CH	H	Cl	CH ³	N
H	CH ₂ CH ₃	OCH ₃	СН	H	C1	CH ₂ CH ₃	N
H	OCH ₃ .	CH ₃	СН	H	C1 .	осн3	N
H	OCH ³	Cl	CH	H	CH3	CH3	N
H	OCH3	OCH ₃	СН	H	CH ³	CH ₂ CH ₃	N
H	осн ₂ сн ₃	CH3	СН	H	CH ³	OCH ³	N
Ħ	осн ₂ сн ₃	Cl	CH	H	сн ₂ сн ₃	сн3	N
				I			

R ⁵	R ²	R ³	Z	R ⁵	R ²	R ³	<u>z</u>
H	СН ₂ СН ₃	СH ₂ CH ₃	N	H	SOCH ₃	CH ₂ CH ₃	N
H	CH ₂ CH ₃	OCH ₃	N	н	SOCH ₃	OCH ³	N
H	OCH ₃	CH ₃	N	H	SO2CH3	CH ³	N
H	OCH3	CH ₂ CH ₃	N	н	SO2CH3	CH ₂ CH ₃	N
H	OCH ³	OCH3	n	H	SO ₂ CH ₃	осн3	N
Ħ	осн ₂ сн ₃	CH ³	N	H	SO2CH2CH3	CH3	N
H	осн ₂ сн ₃	СН ₂ СН ₃	N	H	SO2CH2CH3		N
H	OCH ₂ CH ₃	OCH ₃	N	н	SO2CH2CH3	осн3	N
H	C≣CH	CH3	N	H	oc ₆ H ₅	CH ³	N
H	CECH	СН ₂ СН ₃	N	H	oc ₆ H ₅	СН ₂ СН ₃	N
H	CECH	OCH ³	N	H	oc ₆ H ₅	OCH ³	N
H	SCH3	CH ₃	N	CH ₃	H	CH3	СН
H	SCH ₃	CH ₂ CH ₃	N	CH ₃	H	Cl	СН
H	SCH3	осн3	n	CH ³	H	OCH ₃	СН
H	SCH ₂ CH ₃	CH3	CH	CH3	F	CH3	CH
H	SCH ₂ CH ₃	Cl	CH	CH3	F	Cl	CH
H	SCH ₂ CH ₃	OCH3	CH	CH ₃	F	OCH ₃	CH
H	SOCH ₃	CH ₃	CH	CH ₃	Cl	CH ₃	CH
H	soch ₃	Cl	СН	CH ₃	Cl	Cl	CH
Ħ	SOCH ₃	OCH ³	CH	CH3	Cl	OCH ₃	СН
H	so ₂ cH ₃	CH ³	CH	CH ₃	CH ³	CH3	CH
H	SO2CH3	Cl	CH	CH3	CH3	Cl	CH
H	SO2CH3	OCH ₃	СН	CH ₃	CH3	OCH3	CH
Ħ	SO2CH2CH3	CH ₃	CH	CH3	CH ₂ CH ₃	CH ³	CH
H	SO2CH2CH3	Cl ·	CH		CH ₂ CH ₃	Cl	CH
H	SO2CH2CH3	OCH3	СН	CH3	CH ₂ CH ₃	OCH ³	CH
H	oc ₆ H ₅	CH ₃	CH	CH ₃	OCH ³	CH ₃	СН
H	OC ₆ H ₅	Cl	СН	CH ₃	OCH ₃ .	Cl	СН
Ħ	OC ₆ H ₅	OCH ₃	·CH	CH ₃	OCH ³	осн3	СН
H	SCH ₂ CH ₃	CH ³	N	CH3	осн ₂ сн ₃	CH3	СН
H	SCH2CH3	СН ₂ СН ₃	N	CH3	OCH ₂ CH ₃	Cl	CH
H	SCH ₂ CH ₃	OCH3	N		OCH ₂ CH ₃	осн3	СН
H	SOCH3	CH ₃	N	CH3	С≣СН	CH ³	CH
				1		-	

<u>R</u> 5	R ²	R ³	Z	R ⁵	R ²	R ³	Z
CH ₃	С≣СН	Cl	CH	CH3	SCH2CH3	CH ₃	CH
CH ₃	C≣CH	OCH ³	CH	CH3		C1	СН
CH ₃	SCH ₃	CH ₃	CH	CH3		OCH ³	СН
CH3	SCH ₃	Cl	CH	CH3		СН3	СН
CH3	SCH ₃	OCH ₃	CH	CH3		Cl	СН
H	CH ³	CH3	n	CH ₃		OCH ₃	CH
H	CH3	CH ₂ CH ₃	N	CH3		CH3	СН
H	CH3	OCH ³	n	СН3	so ₂ сн ₃	Cl	CH
H	F	CH3	N	CH ³	so ₂ сн ₃	OCH ₃	CH
H	F	CH ₂ CH ₃	N	CH3	SO2CH2CH3	CH3	СН
H	F	OCH ³	N	CH ₃	SO2CH2CH3	Cl	СН
H	Cl	CH ³	N	CH3	SO2CH2CH3	OCH ³	CH
H	Cl	СH ₂ CH ₃	N	CH3	ос ₆ н ₅	CH3	СН
H	Cl	осн ₃	N	CH ₃	ос ₆ н ₅	Cl	СН
H	CH3	CH3	N	CH3	oc ₆ H ₅	OCH ³	CH
H	CH3	СH ₂ CH ₃	n	CH3	SCH ₂ CH ₃	CH ³	N
Ħ	CH ³	OCH3	N	CH ₃	SCH ₂ CH ₃	Сн ⁵ сн ³	N
H	CH2CH3	CH3	n	CH ₃	SCH ₂ CH ₃	осн3	N
H	CH ₂ CH ₃	СH ₂ CH ₃	N	CH ³	SOCH3	CH ³	N
H	CH ₂ CH ₃	OCH ₃	N	CH3	EOCH ³	СН ₂ СН ₃	N
H	OCH ³	CH ³	n	CH ³	SOCH ³	OCH ³	n
H	OCH ₃	CH ₂ CH ₃	N	CH ³	SO2CH3	CH3	n
H	OCH3	OCH ³	N	CH3	SO2CH3	CH ₂ CH ₃	N
H	OCH ₂ CH ₃	CH ³	N	CH ₃	SO ₂ CH ₃	OCH ³	N
H	OCH ₂ CH ₃		N		SO2CH2CH3	_	N
H	OCH ₂ CH ₃		N		SO2CH2CH3		N
H	CECH	CH3	N		SO ² CH ² CH ³		N
H	CECH	CH ₂ CH ₃			oc ₆ H ₅ .		N
H	C≣CH _.	OCH ³	'n		OC ₆ H ₅		N
H	SCH ³	CH ³	N	CH3	OC ₆ H ₅	OCH ³	N
H	SCH ³	CH2CH3	N	Na	H	CH ³	CH
H	SCH ₃	OCH ³	N	` Na	H	Cl	CH

R ⁵	R ²	R ³	Z	R ⁵	R ²	R ³	<u>z</u>
Na	H	OCH ₃	CH	Na	Cl	CH ₂ CH ₃	N
Na	F	CH ₃	CH	Na	Cl	OCH ₃	N
Na	F	Cl	CH	Na	CH3	CH ₃	N
Na	F	OCH ₃	CH	Na	CH ³	CH ₂ CH ₃	N
Na	Cl	CH ³	CH	Na	CH ³	осн3	N
Na	Cl	Cl	CH	Na	CH ₂ CH ₃	CH ₃	N
Na	Cl	OCH ₃	CH	Na	СH ₂ CH ₃	Сн ₂ сн ₃	N
Na	CH ³	CH3	CH	Na	CH ₂ CH ₃	OCH3	N
Na	CH ³	Cl	CH	Na	OCH ₃	CH ³	N
Na	CH ³	OCH ³	CH	Na	OCH3	СH ₂ CH ₃	N
Na	CH ₂ CH ₃	CH3	CH	Na	осн ₃	OCH3	N
Na	Сн ₂ Сн ₃	Cl	CH	Na	осн ₂ сн ₃	CH3	N
Na	СН ₂ СН ₃	OCH3	CH	Na	OCH ₂ CH ₃	СH ₂ CH ₃	N
Na	OCH ³	CH3	CH	Na	OCH ₂ CH ₃	OCH3	N
Na	OCH ₃	Cl	CH	Na	C≣CH	CH ₃	N
Na	OCH ₃	осн ₃	CH	Na	CECH	СH ₂ CH ₃	N
Na	OCH ₂ CH ₃	CH ³	CH	Na	CECH	OCH3	N
Na	осн ₂ сн ₃		CH	Na	SCH3	CH ³	N
Na	OCH ₂ CH ₃	_	CH	Na	SCH ³	СH ₂ CH ₃	N
Na	CECH	CH3	CH	Na	SCH3	OCH ₃	N
Na	CECH	Cl	CH	Na	SCH ₂ CH ₃	CH3	CH
Na	CECH	OCH ³	СН	Na	SCH ₂ CH ₃	C1	CH
Na	SCH ₃	CH ³	CH	Na	SCH ₂ CH ₃	OCH ₃	CH
Na	SCH3	Cl	CH	Na	SOCH ₃	CH ³	CH
Na	SCH3	OCH ³	CH	Na	SOCH3	Cl	CH
Na	H	CH3	N	Na	SOCH ₃	OCH ³	CH
Na	H	CH ₂ CH ₃	N	Na	SO ₂ CH ₃	CH ³	CH
Na	H	OCH ³	N	Na	SO ₂ CH ₃	Cl	CH
Na	F	CH3	·N	Na	SO ₂ CH ₃	OCH ³	CH
Na	F	CH ₂ CH ₃	N	Na	SO2CH2CH3	CH ³	CH
Na	F	OCH ³	N	Na	SO2CH2CH3	Cl	CH
Na	Cl	CH ³	N	Na	SO2CH2CH3	OCH ³	CH

<u>R</u> 5	R ²	R ³	<u>z</u>	<u>R</u> 5	R ²	<u>R</u> 3	Z
Na	oc ₆ H ₅	CH ³	CH	H·NH2CHMe2	СН ₂ СН ₃	OCH ₃	CH
Na	oc ₆ H ₅	Cl	CH	H•NH ₂ CHMe ₂	OCH ₃	CH3	СН
Na	oc ₆ H ₅	осн ³	CH	H•NH ₂ CHMe ₂	OCH ³	Cl	СН
Na	SCH ₂ CH ₃	CH ³	N	H•NH2CHMe2	OCH ³	осн3	CH
Na	SCH ₂ CH ₃	CH ² CH ³	N	H•NH ₂ CHMe ₂	OCH ₂ CH ₃	СН3	CH
Na	SCH ₂ CH ₃	OCH ³	N	H•NH ₂ CHMe ₂	осн ₂ сн ₃	Cl	CH
Na	SOCH3	CH3	n	H•NH2CHMe2	осн ₂ сн ₃	осн ₃	CH
Na	SOCH3	CH ₂ CH ₃	N	H•NH2CHMe2	C≣CH	СН ³	СН
Na	SOCH3	OCH ³	N	H•NH2CHMe2	CECH	Cl	СН
Na	SO ₂ CH ₃	CH3	N	H•NH ₂ CHMe ₂	CECH	OCH ³	СН
Na	SO2CH3	СH ₂ CH ₃	N	H•NH2CHMe2	SCH ³	CH3	СН
Na	so ₂ ch ₃	OCH ₃	N	H•NH ₂ CHMe ₂	SCH ₃	Cl	СН
Na	so ₂ cH ₂ CH ₃	CH ³	N	H·NH ₂ CHMe ₂	SCH3	OCH ₃	N
Na	SO2CH2CH3	СH ₂ CH ₃	N	H•NH ₂ CHMe ₂	H	CH ₃	N
Na	so ₂ ch ₂ ch ₃	OCH ₃	N	H•NH ₂ CHMe ₂	H	СH ₂ CH ₃	N
Na	oc ₆ H ₅	CH3	N	H•NH ₂ CHMe ₂	H	OCH ³	N
Na	OC ₆ H ₅	CH ₂ CH ₃	N	H•NH ₂ CHMe ₂	F	CH ³	N
Na	oc ₆ H ₅	осн ³	N	H·NH2CHMe2	F	Сн ₂ Сн ₃	N
H•NH ₂ CHMe ₂	H	СH ³	CH	H•NH ₂ CHMe ₂	F	OCH ₃	N
H•NH ₂ CHMe ₂	H	Cl	CH	H•NH ₂ CHMe ₂	Cl	CH ₃	N
H•NH2CHMe2	H	OCH3	CH	H•NH ₂ CHMe ₂	Cl	СН ₂ СН ₃	N
H•NH ₂ CHMe ₂	F	CH ³	CH	H•NH ₂ CHMe ₂	Cl	OCH ³	N
H•NH ₂ CHMe ₂	P	Cl	CH	H•NH ₂ CHMe ₂	CH3	CH ³	n
H•NH ₂ CHMe ₂	F	OCH3	CH	H•NH ₂ CHMe ₂	CH ³	сн ₂ сн ₃	N
H•NH ₂ CHMe ₂		CH ³	CH	H•NH2CHMe2	CH ³	OCH ³	N
H•NH ₂ CHMe ₂		Cl	CH	H•NH ₂ CHMe ₂	CH ₂ CH ₃	CH ³	N
H•NH ₂ CHMe ₂	Cl	OCH ³	CH	H•NH ₂ CHMe ₂	CH ₂ CH ₃	CH ₂ CH ₃	N
H•NH ₂ CHMe ₂	-	CH ³	СН	H•NH ₂ CHMe ₂	CH ₂ CH ₃	OCH ³	n
H•NH2CHMe2.		CI.	СН	H•NH ₂ CHMe ₂	OCH3	CH3	N
H•NH ₂ CHMe ₂		OCH ₃	СН	H•NH2CHMe2	OCH ³	СН ₂ СН ₃	N
H•NH ₂ CHMe ₂		CH ₃	CH	H·NH ₂ CHMe ₂	OCH3	осн3	N
H•NH ₂ CHMe ₂	CH ₂ CH ₃	Cl	CH	H•NH ₂ CHMe ₂	OCH ₂ CH ₃	CH ³	N

R ⁵	R ²	R ³	Z	R ⁵	R²	R ³	Z
H•NH ₂ CHMe ₂	OCH ₂ CH ₃	CH ₂ CH ₃	N	H•NH ₂ CHMe ₂	SO2CH3	OCH ₃	N
H•NH2CHMe2	OCH ₂ CH ₃	OCH ³	N	H•NH2CHMe2	so ₂ cн ₂ cн ₃	CH ³	N
H•NH ₂ CHMe ₂	C≣CH	CH ³	N	H•NH2CHMe2	SO2CH2CH3	CH ₂ CH ₃	N
H•NH ₂ CHMe ₂	С≣СН	СН ₂ СН ₃	N	H•NH2CHMe2	SO2CH2CH3	OCH ³	N
H•NH ₂ CHMe ₂	CECH	OCH ₃	N	H•NH ₂ CHMe ₂	OC ₆ H ₅	CH ³	N
H•NH ₂ CHMe ₂	SCH ₃	CH3	N	H•NH ₂ CHMe ₂	OC ₆ H ₅	CH2CH3	N
H•NH ₂ CHMe ₂	SCH ₃	СН ₂ СН ₃	N	H•NH ₂ CHMe ₂	ос ₆ н ₅	осн3	N
H•NH ₂ CHMe ₂	SCH3	OCH ³	N	CH ₂ CF ₃	H	CH3	СН
H•NH ₂ CHMe ₂	SCH ₂ CH ₃	CH ³	CH	CH ₂ CF ₃	H	OCH ₃	СН
H•NH2CHMe2	SCH2CH3	Cl	CH	CH ₂ CF ₃	Cl	OCH ₃	СН
H•NH2CHMe2	SCH ₂ CH ₃	OCH ³	CH	CH ₂ CH ₂ C1	F	CH ³	СН
H•NH ₂ CHMe ₂	SOCH3	CH ³	CH	CH ₂ CH ₂ Cl	F	осн ₃	СН
H•NH ₂ CHMe ₂	SOCH ₃	Cl	CH	CH2CH2C1	H	OCH3	CH
H•NH ₂ CHMe ₂	SOCH ₃	OCH ³	СН	CH ₂ CH=CH ₂	Cl	CH ₃	СН
H•NH ₂ CHMe ₂	SO ₂ CH ₃	CH ³	СН	CH ₂ CH=CH ₂	Cl	OCH ₃	CH
H•NH ₂ CHMe ₂	SO ₂ CH ₃	Cl	СН	CH ₂ CH=CH ₂	H	OCH ³	СН
H•NH ₂ CHMe ₂	SO ₂ CH ₃	OCH ³	CH	CH ₂ C≡CH	CH ³	CH3	СН
H•NH ₂ CHMe ₂	SO ₂ CH ₂ CH ₃	CH ³	CH.	CH2C≡CH	CH3	OCH ³	СН
H•NH ₂ CHMe ₂	SO2CH2CH3	Cl	CH	CH ^S C≣CH	H	OCH ³	CH
H•NH ₂ CHMe ₂	SO2CH2CH3	OCH ³	СН	CH ₂ -4-C1-C ₆ H ₄	СH ₂ CH ₃	CH ³	СН
H•NH ₂ CHMe ₂	oc ₆ H ₅	CH3	CH	$CH_2-4-C1-C_6H_4$	CH ₂ CH ₃	OCH ₃	CH
H•NH ₂ CHMe ₂	OC ₆ H ₅	Cl	CH	CH2-4-C1-C6H4	H	OCH ³	CH
H•NH ₂ CHMe ₂	oc ₆ H ₅	OCH ³	CH	CH ₂ -2-F-C ₆ H ₄	OCH ³	CH ₃	CH
		CH ₃	N	CH ₂ -2-F-C ₆ H ₄	OCH ³	OCH ₃	CH
H•NH ₂ CHMe ₂			N	$CH_2-2-F-C_6H_4$	H	OCH ₃	CH
H•NH ₂ CHMe ₂		OCH3	N	CH ₂ CH ₂ OCH ₃	OCH ₂ CH ₃	CH ³	CH
H•NH ₂ CHMe ₂	_	CH ³	N	сн ₂ сн ₂ осн ₃	OCH ₂ CH ₃	OCH ₃	CH
H•NH ₂ CHMe ₂	_	CH ₂ CH ₃	N	сн ₂ сн ₂ осн ₃	H	OCH ³	CH
H•NH2CHMe2.		OCH ³	N	Li	CECH	CH ³	CH
H•NH ₂ CHMe ₂		CH ³	14	Li	С≣СН	OCH3	CH
H•NH ₂ CHMe ₂	SO ₂ CH ₃	CH ₂ CH ₃	N	Li	H	OCH ³	СН

R ⁵	R ²	R ³	Z	<u>R</u> 5	R ²	R ³	Z
K	SCH ₃	CH3	СН	Cay	SO2CH3	CH ³	CH
ĸ	scн ₃	OCH ₃	СН	Cay	SO2CH3		CH
K	H	OCH ₃	СН	Cay	H	осн ₃	CH
CH ₂ CF ₃	H	CH3	И	H•NHEt2	sch2ch3	_	CH
CH ₂ CF ₃	H	OCH3	N	H•NHEt2		_	СН
CH ₂ CF ₃	F	OCH ₃	N	H•NHEt2	SCH2CH3		СН
CH ₂ CH ₂ C1	F	CH ₃	N	Cay	SO2CH3	CH ₃	CH
CH2CH2C1	F	OCH ³	N	Cay	so ₂ cH ₃	СН ₂ СН ₃	СН
CH ₂ CH ₂ C1	H	OCH ³	N	Cay	SO ₂ CH ₃	_	СН
CH ₂ CH=CH ₂	Cl	CH3	N		_ •	•	
CH ₂ CH=CH ₂	Cl	OCH3	N				
CH2CH=CH2	Cl	OCH ₃	N				
CH ₂ C∃CH	CH3	CH ³	N				
CH ₂ C≣CH	CH3	OCH3	. N				
CH ₂ C≡CH	CH ³	OCH ³	И				
$CH_2-4-C1-C_6H_4$	CH ₂ CH ₃	CH3	N				
CH2-4-C1-C6H4	сн ₂ сн ₃	OCH ³	N				
CH ₂ -4-C1-C ₆ H ₄	CH ₂ CH ₃	OCH ³	N				
$CH_2-2-F-C_6H_4$	OCH ³	CH ³	N				
$CH_2-2-F-C_6H_4$	OCH ³	OCH ³	N	•			
$CH_2-2-F-C_6H_4$	OCH ³	OCH ³	N				
CH ₂ CH ₂ OCH ₃	OCH ₂ CH ₃	CH ³	И				
CH ₂ CH ₂ OCH ₃	OCH ₂ CH ₃	OCH ³	n				
CH ₂ CH ₂ OCH ₃	OCH ₂ CH ₃	OCH ³	N				
Li	CECH	CH ³	N				
Li	CECH	OCH ³	N				
Li	CECH	OCH ³	N				
K	SCH ₃	CH ³	N	•			
K	SCH3	OCH ³	N				
K	SCH3	OCH3	N				
H•NHEt2	SCH ₂ CH ₃	•	СН				
H•NHEt ₂	SCH ₂ CH ₃	OCH ³	CH				
H•NHEt ₂	H	OCH ³	СН				

TABLE 3

A	R ⁶	X	R1	A	R ⁶	X	R ¹
СН	H	F	2-CO ₂ H	сосн3	H	F	2-CO ₂ H
CH	H	F	2-CO ₂ CH ₃	сосн3	H	F	2-CO ₂ CH ₃
CH	H	F	2-CO ₂ CH ₂ Ph	COCH ₃	H	F	2-CO ₂ CH ₂ Ph
CH	H	Cl	2-CO ₂ H	сосн3	H	Cl	2-CO ² H
CH	H	Cl	2-CO ₂ CH ₃	COCH ³	H	Cl	2-CO ₂ CH ₃
CH	H	Cl	2-CO ₂ CH ₂ Ph	COCH3	H	Cl	2-CO ₂ CH ₂ Ph
СН	Ħ	CH3	2-CO ₂ H	COCH ³	H	CH ₃	2-CO ₂ н
CH	H	CH3	2-CO ₂ CH ₃	COCH ³	H	CH3	2-CO ₂ CH ₃
CH	H	CH3	2-CO ₂ CH ₂ Ph	COCH3	H	CH3	2-CO ₂ CH ₂ Ph
CCH ³	H	F	2-CO ₂ H	CCI	H	F	2-CO2H
ссн ₃	Ħ	F	2-CO ₂ CH ₃	CCl	Ħ	F	2-CO ₂ CH ₃
CCH ³	H	F .	2-CO2CH2Ph	CCl	H	F	2-CO ₂ CH ₂ Ph
ссн ³	H	Cl	2-CO ² H	CC1	H	Cl	2-CO ² H
CCH ³	H	Cl	2-CO ₂ CH ₃	CC1	H	Cl	2-CO ₂ CH ₃
CCH ³	H	Cl	2-CO ₂ CH ₂ Ph	CC1	H .	Cl	2-CO ₂ CH ₂ Ph
CCH ³	H .	CH3	2-CO ₂ H	CC1	H	CH ₃	2-CO ₂ H
CCH ³	H	CH ³	2-CO ₂ CH ₃	CC1	H	CH3	2-CO ₂ CH ₃
CCH3	H	CH3	2-CO ₂ CH ₂ Ph	CCl	H	CH ₃	2-CO ₂ CH ₂ Ph

A	R ⁶	X	R ¹	A	R ⁶	X	R ¹
N	н	F	2-CO ₂ H	N	H	F	4-CO ₂ H
N	H	P	2-CO ₂ CH ₃	И	H	F	4-CO ₂ CH ₃
n	H	F	2-CO ₂ CH ₂ Ph	N	H	F	4-CO ₂ CH ₂ Ph
N	H	Cl	2-CO ₂ H	N	H	Cl	4-CO ₂ H
N	H	Cl	2-CO ₂ CH ₃	N	H	Cl	4-CO ₂ CH ₃
N	H	Cl	2-CO ₂ CH ₂ Ph	N	H	Cl	4-CO ₂ CH ₂ Ph
n	H	CH ₃	2-CO ₂ H	N	H	CH ₃	4-CO ₂ H
N	H	CH3	2-CO ₂ CH ₃	N	Ħ	CH ₃	4-CO ₂ CH ₃
n	H	CH ³	2-CO ₂ CH ₂ Ph	N	H	CH ₃	4-CO ₂ CH ₂ Ph
N	4-F	F	2-CO ₂ H	N	2-F	F	4-CO ₂ H
N	4-F	F	2-CO ₂ CH ₃	N	2-F	F	4-CO ₂ CH ₃
N	4-F	F	2-CO ₂ CH ₂ Ph	n	2-F	F	4-CO ₂ CH ₂ Ph
И	5-OCH ₃	CH ₃	2-CO ₂ H	N	5-0CH ₃	CH ₃	4-CO ₂ H
n	5-OCH ₃	CH ³	2-CO ₂ CH ₃	N	5-0CH ₃	CH ₃	4-CO ₂ CH ₃
N	5-0CH ₃	CH ³	2-CO ₂ CH ₂ Ph	n	5-0CH ₃	CH3	4-CO2CH2Ph
N	6-SCH ₃	Cl	2-CO ₂ H	N	6-SCH ₃	Cl	4-CO ₂ H
N	6-SCH3	Cl	2-CO ₂ CH ₃	N	6-SCH ₃	Cl	4-CO ₂ CH ₃
N	6-SCH ₃	Cl	2-CO ₂ CH ₂ Ph	N	6-SCH ₃	Cl	4-CO ₂ CH ₂ Ph
N	H	CN	2-CO ₂ H	N	H	CIN	4-CO ₂ H

TABLE 4

¥	R ¹	R ³	Z	¥	<u>R</u> ¹	<u>R</u> 3	<u>z</u>
2-CH ₂	CO ₂ H	OCH ₃	СН	4-CH ₂	CO ₂ H	осн ₃	СН
2-CH ₂	со ₂ сн ₃	OCH ³	СН	4-CH ₂	CO2CH3	осн3	СН
2-CH ₂	CO2CH2C6H5	OCH ³	СН	4-CH ₂	CO2CH2C6H5	осн3	СН
2-CH ₂	CO ₂ H	CH ³	СН	4-CH ₂	CO ₂ H	CH3	СН
2-CH ₂	со ₂ н	CH ³	N	4-CH ₂	со ₂ н	CH3	N
2-CHCN	CO2H	осн3	СН	4-CHCN	CO ² H	OCH3	СН
2-CHCN	CO2CH3	осн3	СН	4-CHCN	CO2CH3	OCH3	СН
2-CHCN	со ₂ сн ₂ с ₆ н ₅	OCH ³	CH	4-CHCN	CO2CH2C6H5	OCH ₃	CH
2-CHCN	CO ² H	CH ³	CH	4-CHCN	CO2H	CH3	CH
2-CHCN	CO2H	CH ₃	N	4-CECN	CO ² H	CH3	N
						-	

TABLE 5

¥	R ¹	R ³	Z	¥	R ¹	E3	<u>z</u>
2-CH ₂	3-CO ₂ H	OCH ₃	СН	3-CH ₂	4-CO ₂ H	осн3	СН
2-CH ₂	3-CO ₂ CH ₃	OCH ³	СН	3-CH ₂	4-CO ₂ CH ₃	OCH ³	CH
2-CH ₂	3-CO ₂ CH ₂ C ₆ H ₅	осн3	CH	3-CH ₂	4-CO2CH2C6H5	осн3	CH
2-CH ₂	3-CO ₂ H	CH ³	СН	3-CH ₂	4-CO2H	CH ₃	CH
2-CH ₂	3-CO ₂ H	CH ³	N	3-CH ₂	4-CO ₂ H	CH ₃	N
2-CHCN	3-CO ₂ H	OCH ³	СН	3-CHCN	4-CO ₂ H	OCH3	CH
2-CHCN	3-CO ₂ CH ₃	осн ³	СН	3-CHCN	4-CO ₂ CH ₃	OCH ₃	СН
2-CHCN	3-CO ₂ CH ₂ C ₆ H ₅	OCH3	CH	3-CHCN	4-CO2CH2C6H5	OCH3	СН
2-CHCN	3-CO ₂ H	CH ₃	CH	3-CHCN	4-CO ₂ H	CH ³	CH
2-CHCN	3-CO ₂ H	CH ₃	N	3-CHCN	4-CO ₂ H	CH ₃	N
6-CH ₂	5-CO ₂ H	осн3	CH	7-CH ₂	8-CO ₂ H	OCH ₃	CH
6-CH ₂	5-CO ₂ CH ₃	OCH ³	СН	7-CH ₂	8-CO ₂ CH ₃	OCH ₃	CH
6-CH ₂	5-со ₂ сн ₂ с ₆ н ₅	осн ³	CH	7-CH ₂	8-CO2CH2C6H5	OCH ₃	СН
6-CH ₂	5-со ₂ н	Сн3	CH	7-CH ₂	8-CO ₂ H	CH ₃	СН
6-CH ₂	5-CO ₂ H	CH3	N	7-CH ₂	8-CO2H	CH ₃	n
6-CHCN	5-CO ₂ H	OCH ₃	СН	7-CHCN	8-CO ₂ H	OCH ₃	СН
6-CHCN	5-со ₂ сн ₃	осн3	СН	7-CHCN	8-CO ₂ СН ₃	OCH3	СН
6-CHCN	5-CO ₂ CH ₂ C ₆ H ₅	OCH ³	СН	7-CHCN	8-CO2CH2C6H5	OCH	СН
6-CHCN	5-CO ₂ H	CH ₃	СН	7-CHCN	8-CO ² H	CH ₃	СН
6-CHCN	5-CO ₂ H	CH ₃	N	7-CHCN	8-CO ² H	CH ₃	N
			1		-	•	

TABLE 6

¥	R ¹	R ³	R ⁶	<u>z</u>
2-CH ₂	1-CHO	OCH ₃	н	СН
2-CH ₂	1-CO ₂ H	OCH ₃	8-C1	CH
2-CH ₂	1-CO ₂ H	CH ³	H	CH
2-CH ₂	1-CO2H	OCH3	H	CH
2-CH ₂	1-CO ₂ CH ₃	CH ³	H	N
2-CH ₂	1-CO ₂ CH ₃	OCH ³	H	CH
2-CH(OH)	1-CHO	OCH ³	H	CH
2-CH(OH)	1-CO2H	осн3	H	CH
2-CH(OH)	1-CO2H	CH ₃	H	N
2-CH(OH)	1-CO2CH3	OCH ³	H	CH
2-CH(OH)	1-CO2CH2C6H5	OCH ³	H	CH
2-CHC1	1-CHO	OCH ³	H	CH
2-CHC1	1-CO2H	OCH ³	H	CH
2-CHC1	1-CO ₂ H	CH ³	H	CH
2-CHC1	1-CO ₂ CH ₃	осн ³	H	N.
2-CHC1	1-CO ₂ CH ₃	OCH ³	H	CN
2-CHCN	1-CHO	OCH3	H	СН
2-CHCN	1-CO2H	OCH3	H	CH
2-CHCN	1-CO2H	OCH3	6-Cl	CH
2-CHCN	1-CO ₂ Na	OCH ₃	H	CH
2-CHCN	1-CO ₂ Na	OCH ³	H	N

TABLE 7

Α	Y	R ³	Z	A	Y	R ³	Z
CH	2-CHCO ₂ CH ₃	осн3	СН	N	2-снсо ₂ сн ₃	OCH ³	СН
CH	2-CHCO ₂ CH ₂ CH ₃	OCH ³	CH	N	2-CHCO ₂ CH ₂ CH ₃	осн3	CH
CH	2-CHCO ₂ CH ₂ CH ₃	CH ³	CH	N	2-CHCO ₂ CH ₂ CH ₃	CH ₃	СН
CH	2-CHCO ₂ CH ₂ CH ₃	CH ³	N	N	2-CHCO ₂ CH ₂ CH ₃	CH3	N
CH	2-CHCO ₂ CH ₂ CH ₃	N(CH ₃) ₂	СН	N	2-CHCO ₂ CH ₂ CH ₃	N(CH ₃) ₂	СН
CH	2-CHCO ₂ CH ₂ CH ₂ CH ₃	осн3	CH	n	2-CHCO2CH2CH2CH3	-	СН
CH	2-CHCO2CH2C6H4	OCH ₃	СН	n	2-CHCO ₂ CH ₂ C ₆ H ₄	OCH3	CH
CH	2-CECO2CH2C6H4	CH ³	N	n	2-CHCO2CH2C6H4	CH ₃	N
CH	2-CHCO ₂ CH ₂ CH=CH ₂	CH ³	CH	n	2-CHCO2CH2CH=CH2	•	CH
CH	2-CHCO ₂ CH ₂ CH=CH ₂	OCH ³	СН	N	2-CHCO ₂ CH ₂ CH=CH ₂	_	СН
CH	2-CHCO2CH2C≣CH	OCH ³	CH	N	2-CHCO2CH2C≣CH	осн3	СН
C-CH ³	2-CO ₂ CH ₂ CH ₃	OCH3	СН	N-O	2-CO ₂ CH ₂ CH ₃	осн3	СН
C-OCH3	3-CO ₂ CH ₂ CH ₃	OCH ₃	СН	и-0	3-CO ₂ CH ₂ CH ₃	OCH3	СН
C-C1	3-CO ₂ CH ₂ CH ₃	осн3	CH	N-0	3-CO ₂ CH ₂ CH ₃	OCH ₃	СН
C-F	3-CO2CH2CH3	OCH,	CH			3	

Formulations

Useful formulations of the compounds of Formula I can be prepared in conventional ways. They include 5 dusts, granules, pellets, solutions, suspensions, emulsions, wettable powders, emulsifiable concentrates and the like. Many of these may be applied directly. Sprayable formulations can be extended in suitable media and used at spray volumes of from a few liters to several hundred liters per hectare. High strength compositions are primarily used as intermediates for further formulation. The formulations, broadly, contain about 0.1% to 99% by weight of active ingredient(s) and at least one of 15 (a) about 0.1% to 20% surfactant(s) and (b) about 1% to 99.9% solid or liquid diluent(s). More specifically, they will contain these ingredients in the following approximate proportions:

20 Table 8

		Activo	Weigh	t Percent*
		20-90 3-50 as, able 10-50 1-25	Diluent(s)	Surfactant(s)
	Wettable Powders	20-90	0-74	1-10
25	Oil Suspensions,	3-50	40-95	0-15
	Emulsions, Solutions,	•		
	(including Emulsifial	ole		
	Concentrates)			
	Aqueous Suspension	10-50	40-84	1-20
30	Dusts	1-25	70-99	0-5
	Granules and Pellets	0.1-95	5-99.9	0-15
	High Strength	90-99	· 0-10	0-2
	Compositions			

Active ingredient plus at least one of a Surfactant or a Diluent equals 100 weight percent.

Lower or higher levels of active ingredient can, of course, be present depending on the intended use and the physical properties of the compound. Higher ratios of surfactant to active ingredient are sometimes desirable, and are achieved by incorporation into the formulation or by tank mixing.

Typical solid diluents are described in Watkins, et al., "Handbook of Insecticide Dust Diluents and 10 Carriers", 2nd Ed., Dorland Books, Caldwell, New Jersey, but other solids, either mined or manufactured, may be used. The more absorptive diluents are preferred for wettable powders and the denser ones for dusts. Typical liquid diluents and solvents 15 are described in Marsden, "Solvents Guide," 2nd Ed., Interscience, New York, 1950. Solubility under 0.1% is preferred for suspension concentrates; solution concentrates are preferably stable against phase separation at 0°C. "McCutcheon's Detergents and 20 Emulsifiers Annual", MC Publishing Corp., Ridgewood, New Jersey, as well as Sisely and Wood, "Encyclopedia of Surface Active Agents", Chemical Publishing Co., Inc., New York, 1964, list surfactants and recommended uses. All formulations can contain minor amounts of 25 additives to reduce foaming, caking, corrosion, microbiological growth, etc.

The methods of making such compositions are well known. Solutions are prepared by simply mixing the ingredients. Fine solid compositions are made by blending and, usually, grinding as in a hammer or fluid energy mill. Suspensions are prepared by wet milling (see, for example, Littler, U.S. Patent 3,060,084). Granules and pellets may be made by spraying the active material upon preformed granular carriers or by agglomeration techniques. See J. E.

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Browning, "Agglomeration", <u>Chemical Engineering</u>, December 4, 1967, pp. 147ff. and "Perry's Chemical Engineer's Handbook", 5th Ed., McGraw-Hill, New York, 1973, pp. 8-57ff.

For further information regarding the art of formulation, see for example:

H. M. Loux, U.S. Patent 3,235,361, February 15, 1966, Col. 6, line 16 through Col. 7, line 19 and Examples 10 through 41;

R. W. Luckenbaugh, U.S. Patent 3,309,192, March 14, 1967, Col. 5, line 43 through Col. 7, line 62 and Examples 8, 12, 15, 39, 41, 52, 53, 58, 132,

15 138-140, 162-164, 166, 167 and 169-182;

H. Gysin and E. Knusli, U.S. Patent 2,891,855, June 23, 1959, Col. 3, line 66 through Col. 5, line 17 and Examples 1-4;

- G. C. Klingman, "Weed Control as a Science",
 20 John Wiley and Sons, Inc., New York, 1961, pp. 81-96;
 and
 - J. D. Fryer and S. A. Evans, "Weed Control Hand-book", 5th Ed., Blackwell Scientific Publications, Oxford, 1968, pp. 101-103.
- In the following examples, all parts are by weight unless otherwise indicated.

Example A

80%

13%

Wettable Powder

5

30 2-[4,6-dimethoxy-2-pyrimidinyl)methyl]-6methyl-benzoic acid

sodium alkylnaphthalenesulfonate 2% sodium ligninsulfonate 2% synthetic amorphous silica 3%

synthetic amorphous silica

kaolinite

The ingredients are blended, hammer-milled until all the solids are essentially under 50 microns, reblended, and packaged.

Ex	a	m	n	1	_	R
	o.	ш	ν	1	┖.	D

	Example B	
	Wettable Powder	
5	2-[4,6-dimethoxy-2-pyrimidinyl)methyl]-6-	
	methyl-benzoic acid	50%
	sodium alkylnaphthalenesulfonate	2%
	low viscosity methyl cellulose	2°s
	diatomaceous earth	46%
10	The ingredients are blended, coarsely	
	milled and then air-milled to produce partic	cles essen-
	tially all below 10 microns in diameter. The	he product
	is reblended before packaging.	^
15	Example C	
	Granule	
	Wettable Powder of Example B	5%
	attapulgite granules	95%
	(U.S.S. 20-40 mesh; 0.84-0.42 mm)	
20	A slurry of wettable powder containing	25%
	solids is sprayed on the surface of attapulg	ite
	granules in a double-cone blender. The gran	ules
	are dried and packaged.	
25		
23	Example D Extruded Pellet	
	:	
	2-[4,6-dimethoxy-2-pyrimidinyl)methyl]-6- methyl-benzoic acid	
		25%
30	anhydrous sodium sulfate	10%
	crude calcium ligninsulfonate	5%
	sodium alkylnaphthalenesulfonate	1%
	calcium/magnesium bentonite	59%
	The ingredients are blended, hammer-mi	lled and
35	then moistened with about 12% water. The mi	xture is
	extruded as cylinders about 3 mm diameter wh cut to produce pellets about 3 mm long who	
	PEVALUE DELLELS BINDE (MM IAAA - ML.	

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used directly after drying, or the dried pellets may be crushed to pass a U.S.S. No. 20 sieve (0.84 mm openings). The granules held on a U.S.S. No. 40 sieve (0.42 mm openings) may be packaged for use and the fines recycled.

Example E

10 Oil Suspension

2-[4,6-dimethoxy-2-pyrimidinyl)methyl]-6-methyl-benzoic acid

polyoxyethylene sorbitol hexaoleate 5% highly aliphatic hydrocarbon oil 70%

25%

The ingredients are ground together in a sand mill until the solid particles have been reduced to under about 5 microns. The resulting thick suspension may be applied directly, but preferably after being extended with oils or emulsified in water.

20

15

5

Example F

Wettable Powder

2-[4,6-dimethoxy-2-pyrimidinyl)methyl]-6-

methyl-benzoic acid 20%
25 sodium alkylnaphthalenesulfonate 4%
sodium ligninsulfonate 4%
low viscosity methyl cellulose 3%
attapulgite 69%

The ingredients are thoroughly blended. After grinding in a hammer-mill to produce particles essentially all below 100 microns, the material is reblended and sifted through a U.S.S. No. 50 sieve (0.3 mm opening) and packaged.

	Example G	
	Low Strength Granule	
5	2-[4,6-dimethoxy-2-pyrimidinyl)methyl]-6-	
	methyl-benzoic acid	1%
	N, N-dimethylformamide	9%
	attapulgite granules	90%
	(U.S.S. 20-40 sieve)	• • •
10	The active ingredient is dissolved in the	e solvent
	and the solution is sprayed upon dedusted gran	ules in
	a double cone blender. After spraying of the	solution
	has been completed, the blender is allowed to	run for
	a short period and then the granules are package	red.
15	-	,
	Example H	
	Aqueous Suspension	
	2-[4,6-dimethoxy-2-pyrimidinyl)methyl]-6-	
	methyl-benzoic acid	40%
20	polyacrylic acid thickener	0.3%
	dodecylphenol polyethylene glycol ether	0.5%
	disodium phosphate	1%
	monosodium phosphate	0.5%
	polyvinyl alcohol	1.0%
25	water	5.6.7%
	The ingredients are blended and ground to	gether
	in a sand mill to produce particles essentially	all
	under 5 microns in size.	
10	Example I	
	Solution	
	2-[4,6-dimethoxy-2-pyrimidinyl)methyl]-6-	
	methyl-benzoic acid	5%
15	water The solt is odded dimental to the	95%
, ,	The salt is added directly to the water w	ith

3 stirring to produce the solution, which may then be packaged for use.

Example J

Low Strength Granule

5 2-[4,6-dimethoxy-2-pyrimidinyl)methyl]-6-

methyl-benzoic acid

0.1%

attapulgite granules

99.9%

(U.S.S. 20-40 mesh)

The active ingredient is dissolved in a solvent
and the solution is sprayed upon dedusted granules in
a double-cone blender. After spraying of the solution
has been completed, the material is warmed to evaporate
the solvent. The material is allowed to cool and then
packaged.

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Example K

Granule

2-[4,6-dimethoxy-2-pyrimidiny1)methy1]-6-

methyl-benzoic acid

80%

20 wetting agent

1%

10%

crude ligninsulfonate salt (containing

20% of the matural manual

5-20% of the natural sugars)

attapulgite clay

9%

The ingredients are blended and milled to pass through a 100 mesh screen. This material is then added to a fluid bed granulator, the air flow is adjusted to gently fluidize the material, and a fine spray of water is sprayed onto the fluidized material. The fluidization and spraying are continued until granules of the desired size range are made. The spraying is stopped, but fluidization is continued, optionally with heat, until the water content is reduced to the desired level, generally less than 1%. The material is then discharged, screened to the desired size range, generally 14-100 mesh (1410-149 microns), and

35 generally 14-100 mesh (1410-149 microns), and packaged for use.

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Example L

High Strength Concentrate 2-[4,6-dimethoxy-2-pyrimidinyl)methyl]-6-

methyl-benzoic acid

99%

silica aerogel

0.5%

synthetic amorphous silica

0.5%

The ingredients are blended and ground in a hammer-mill to produce a material essentially all passing a U.S.S. No. 50 screen (0.3 mm opening). The concentrate may be formulated further if necessary.

Example M

15 Wettable Powder

2-[4,6-dimethoxy-2-pyrimidinyl)methyl]-6-

methyl-benzoic acid

90%

dioctyl sodium sulfosuccinate

0.1%

synthetic fine silica

9.9%

The ingredients are blended and ground in a hammer-mill to produce particles essentially all below 100 microns. The material is sifted through a U.S.S. No. 50 screen and then packaged.

25 Example N

Wettable Powder

2-[4,6-dimethoxy-2-pyrimidiny1)methy1]-6-

methyl-benzoic acid

40%

sodium ligninsulfonate

20%

30 montmorillonite clay

40%

The ingredients are thoroughly blended, coarsely hammer-milled and then air-milled to produce particles essentially all below 10 microns in size. The material is reblended and then packaged.

	Example O	
	Oil Suspension	
5	2-[4,6-dimethoxy-2-pyrimidiny1)methy1]-6-	
	methyl-benzoic acid	35%
	blend of polyalcohol carboxylic	6%
	esters and oil soluble petroleum	
	sulfonates	
10	xylene	59%
	The ingredients are combined and ground to	ogether
	in a sand mill to produce particles essentially	all
	below 5 microns. The product can be used direct	tly,
	extended with oils, or emulsified in water.	
15		
	Example P	
	Dust	
	2-[4,6-dimethoxy-2-pyrimidinyl)methyl]-6-	
	methyl-benzoic acid	10%
20	attapulgite	10%
	Pyrophyllite	80%
	The active ingredient is blended with atta	_
	gite and then passed through a hammer-mill to pr	oduce
	particles substantially all below 200 microns.	The
25	ground concentrate is then blended with powdered	l
	pyrophyllite until homogeneous.	
	Example O	
	Emulsifiable Concentrate	
30	2-[4,6-dimethoxy-2-pyrimidinyl)methyl]-6-	0
	methyl-benzoic acid chlorobenzene	10%
	,	84%
	sorbitan monostearate and polyoxyethylene condensates thereof	C 0
35	The ingredients are combined and stirred t	6%
3 <i>J</i>	the indicateurs are compined and stilled t	:U DIO-

duce a solution which can be emulsified in water for

application.

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<u>Utility</u>

Test results indicate that compounds of this
invention are active postemergence and preemergence
herbicides. These compounds are useful for the
control of selected grass and broadleaf weeds with
tolerance to important agronomic crops which include,
but are not limited to barley (Hordeum vulgare), corn
(Zea mays), cotton (Gossypium hirsutum), and wheat
(Triticum aestivum). Weed species controlled include,
but are not limited to cocklebur (Xanthium
pensylvanicum), teaweed (Sida spinosa), and velvetleaf
(Abutilon theophrasti).

These compounds also have utility for complete control and/or selected control of vegetation in specified areas such as around storage tanks, parking lots, highways, and railways, and in fallow crop, citrus, and plantation crop areas. Alternatively, these compounds are useful to modify plant growth.

A herbicidally effective amount of the compounds of this invention is determined by a number of factors. These factors include: formulation selected, method of application, amount and type of vegetation present, growing conditions, etc. In general terms, a herbicidally effective amount of the compounds of the invention is applied at rates from 0.004 to 20 kg/ha with a preferred rate range of 0.025 to 2 kg/ha. One skilled in the art can easily determine the application rate needed for the desired level of weed control.

Compounds of this invention may be used alone or in combination with other commercial herbicides, insecticides, or fungicides. The following list exemplifies some of the herbicides suitable for use in mixtures. A combination of a compound from this invention with one or more of the following herbicides may be particularly useful for weed control.

	Common Name	Chemical Name
5	acetochlor	2-chloro-N-(ethoxymethyl)-N- (2-ethyl-6-methylphenyl)acetamide
	acifluorfen	5-[2-chloro-4-(trifluoromethyl)- phenoxy]-2-nitrobenzoic acid
	acrolein	2-propenal
10	alachlor	2-chloro-N-(2,6-diethylphenyl)-N- (methoxymethyl)acetamide
	anilofos	S-4-chloro-N-isopropylcarbaniloyl-methyl-O,O-dimethyl phosphorodi-thioate
15	ametryn	N-ethyl-N'-(l-methylethyl)-6- (methylthio)-1,3,5-triazine-2,4- diamine
	amitrole	1H-1,2,4-triazol-3-amine
	AMS	ammonium sulfamate
20	asulam	<pre>methyl [(4-aminophenyl)sulfonyl]- carbamate</pre>
	atrazine	6-chloro-N-ethyl-N'-(1-methylethyl)- 1,3,5-triazine-2,4-diamine
	barban	4-chloro-2-butynyl 3-chlorocarbamate
25	benefin	N-butyl-N-ethyl-2,6-dinitro-4-(tri-fluoromethyl)benzenamine
	bensulfuron methyl	<pre>2-[[[[[(4,6-dimethoxy-2-pyrimi- dinyl)amino]methylcarbonyl]- amino]sulfonyl]methyl]benzoic acid, methyl ester</pre>
30	bensulide	O,O-bis(1-methylethyl) S-[2- [(phenylsulfonyl)amino]- ethyl]phosphorodithioate
35	bentazon	3-(1-methylethyl)-(1H)-2,1,3- benzothiadiazin-4(3H)-one, 2,2-dioxide
33	benzofluor	N-[4-(ethylthio)-2-(trifluoro- methyl)phenyl]methanesulfonamide

benzoylprop N-benzoyl-N-(3,4-dichlorophenyl)-DL- alanine bifenox methyl 5-(2,4-dichlorophenoxy)-2- nitrobenzoate bromacil 5-bromo-6-methyl-3-(1-methylpropyl)- 2,4(1H,3H)pyrimidinedione bromoxynil 3,5-dibromo-4-hydroxybenzonitrile butachlor N-(butoxymethyl)-2-chloro-N-(2,6- diethylphenyl)acetamide buthidazole 3-[5-(1,1-dimethylethyl)-1,3,4-thia- diazol-2-yl]-4-hydroxy-1-methyl-2- imidazolidinone butralin 4-(1,1-dimethylethyl)-N-(1-methyl- propyl)-2,6-dinitrobenzenamine butylate S-ethyl bis(2-methylpropyl)-	
bromacil 5-bromo-6-methyl-3-(1-methylpropyl)- 2,4(1H,3H)pyrimidinedione bromoxynil 3,5-dibromo-4-hydroxybenzonitrile butachlor N-(butoxymethyl)-2-chloro-N-(2,6- diethylphenyl)acetamide buthidazole 3-[5-(1,1-dimethylethyl)-1,3,4-thia- diazol-2-yl]-4-hydroxy-1-methyl-2- imidazolidinone butralin 4-(1,1-dimethylethyl)-N-(1-methyl- propyl)-2,6-dinitrobenzenamine	
2,4(1H,3H)pyrimidinedione 3,5-dibromo-4-hydroxybenzonitrile butachlor N-(butoxymethyl)-2-chloro-N-(2,6-diethylphenyl)acetamide buthidazole 3-[5-(1,1-dimethylethyl)-1,3,4-thia-diazol-2-yl]-4-hydroxy-1-methyl-2-imidazolidinone butralin 4-(1,1-dimethylethyl)-N-(1-methyl-propyl)-2,6-dinitrobenzenamine	
bromoxynil 3,5-dibromo-4-hydroxybenzonitrile butachlor N-(butoxymethyl)-2-chloro-N-(2,6-diethylphenyl)acetamide buthidazole 3-[5-(1,1-dimethylethyl)-1,3,4-thia-diazol-2-yl]-4-hydroxy-1-methyl-2-imidazolidinone butralin 4-(1,1-dimethylethyl)-N-(1-methyl-propyl)-2,6-dinitrobenzenamine	
buthidazole buthidazole 3-[5-(1,1-dimethylethyl)-1,3,4-thia-diazol-2-yl]-4-hydroxy-1-methyl-2-imidazolidinone butralin 4-(1,1-dimethylethyl)-N-(1-methyl-propyl)-2,6-dinitrobenzenamine	
diazol-2-yl]-4-hydroxy-1-methyl-2- imidazolidinone butralin 4-(1,1-dimethylethyl)-N-(1-methyl- propyl)-2,6-dinitrobenzenamine	
propyl)-2,6-dinitrobenzenamine	
butwlate Cathell birds	
carbamothioate	
cacodylic dimethyl arsinic oxide acid	
CDAA 2-chloro-N,N-di-2-propenylacetamide	
CDEC 2-chloroallyl diethyldithiocarbamate	
25 CGA 142,464 3-(4,6-dimethoxy-1,3,5-triazin-2-y1)- 1-[2-(2-methoxyethoxy)-phenyl- sulfonyl]-urea	
chloramben 3-amino-2,5-dichlorobenzoic acid	
chlorbromuron 3-(4-bromo-3-chlorophenyl)-1-methoxy-30 methylurea	L -
chlorimuron 2-[[[(4-chloro-6-methoxy-2-pyrimi-dinyl)ethylamino]carbonyl]-amino]sulfonyl]benzoic acid, ethyl ester	
chlormethoxy- 2,4-dichlorophenyl 4-nitro-3- 35 nil methoxyphenyl ether	
chlornitrofen 2,4,6-trichlorophenyl-4-nitro- phenyl ether	

	Common Name	Chemical Name
5	chloroxuron	N'-[4-(4-chlorophenoxy)phenyl]-N,N- dimethylurea
	chlorpropham	1-methylethyl 3-chlorophenylcarbamate
	chlorsulfuron	<pre>2-chloro-N-[[(4-methoxy-6-methyl-1,3,5- triazin-2-yl)amino]carbonyl]benzene- sulfonamide</pre>
10	chlortoluron	N'-(3-chloro-4-methylphenyl)-N,N-dimethylurea
	cinmethylin	<pre>exo-1-methyl-4-(1-methylethyl)-2-[(2- methylphenyl)methoxy]-7-oxabicyclo- [2.2.1]heptane</pre>
15	clethodim	<pre>(E,E)-(±)-2-[1-[[(3-chloro-2-propenyl)- oxy]imino]propyl]-5-[2-(ethylthio)- propyl]-3-hydroxy-2-cyclohexen-1-one</pre>
	clomazone	2-[(2-chlorophenyl)methyl]-4,4-dimethyl- 3-isoxazolidinone
20	cloproxydim	<pre>(E,E)-2-[1-[[(3-chloro-2-propenyl)oxy)- imino]butyl]-5-[2-(ethylthio)propyl]- 3-hydroxy-2-cyclohexen-1-one</pre>
	clopyralid	3,6-dichloro-2-pyridinecarboxylic acid
	CMA	calcium salt of MAA
25	cyanazine	2-[[4-chloro-6-(ethylamino)-1,3,5-tri-azin-2-yl]amino]-2-methylpropanenitrile
	cycloate	S-ethyl cyclohexylethylcarbamothioate
	cycluron	3-cyclooctyl-1,1-dimethylurea
30	cyperquat	l-methyl-4-phenylpyridinium
	cyprazine	2-chloro-4-(cyclopropylamino)-6-(iso-propylamino)-s-triazine
35	cyprazole	N-[5-(2-chloro-1,1-dimethylethyl)-1,3,4-thiadiazol-2-yl]cyclopropanecarbox-amide
50	cypromid	3',4'-dichlorocyclopropanecarboxanilide

	Common Name	Chemical Name
5	dalapon	2,2-dichloropropanoic acid
	dazomet	tetrahydro-3,5-dimethyl-2H-1,3,5-thia- diazine-2-thione
	DCPA .	dimethyl 2,3,5,6-tetrachloro-1,4-benzene-dicarboxylate
10	desmediphan	<pre>ethyl [3-[[(phenylamino)carbonyl]oxy]- phenyl]carbamate</pre>
	desmetryn	2-(isopropylamino)-4-(methylamino)-6- (methylthio)-s-triazine
15	diallate	S-(2,3-dichloro-2-propenyl)bis(1-methylethyl)carbamothioate
10	dicamba	3,6-dichloro-2-methoxybenzoic acid
	dichlobenil	2,6-dichlorobenzonitrile
	dichlorprop	<pre>(±)-2-(2,4-dichlorophenoxy)propanoic acid</pre>
20	diclofop- methyl	<pre>(±)-2-[4-(2,4-dichlorophenoxy)phenoxy]- propanoic acid, methyl ester</pre>
	diethatyl	N-(chloroacetyl)-N-(2,6-diethylphenyl)- glycine
25	difenzoquat	1,2-dimethy1-3,5-dipheny1-1H-pyrazolium
23	dimepiperate	S-1-methyl-1-phenylethylpiperidine- 1-carbothioate
	dinitramine	N ³ ,N ³ -diethyl-2,4-dinitro-6-(trifluoro-methyl)-1,3-benzenediamine
30	dinoseb	2-(1-methylpropyl)-4,6-dinitrophenol
	điphenamid	N, N-dimethyl-α-phenylbenzeneacetamide
35	dipropetryn	6-(ethylthio)-N,N'-bis(1-methylethyl)- 1,3,5-triazine-2,4-diamine
	diquat	<pre>6,7-dihydrodipyrido[1,2-a:2',1'-c]- pyrazinedium ion</pre>
	diuron	N'-(3,4-dichlorophenyl)-N,N-dimethylurea

	Common Name	Chemical Name
5	DNOC	2-methyl-4,6-dinitrophenol
	DSMA	disodium salt of MAA
	dymron	N-(4-methylphenyl)-N'-(1-methyl- l-phenylethyl)urea
10	endothall	7-oxabicyclo[2.2.1]heptane-2,3-dicarbox-ylic acid
	EPTC	S-ethyl dipropylcarbamothioate
	esprocarb (SC2957)	S-benzyl-N-ethyl-N-(1,2-dimethyl)- propyl)thiolcarbamate
15	ethalfluralin	N-ethyl-N-(2-methyl-2-propenyl)-2,6- dinitro-4-(trifluoromethyl)- benzenamine
	ethofumesate	(\pm) -2-ethoxy-2,3-dihydro-3,3-dimethyl-5-benzofuranyl methanesulfonate
	fenac	2,3,6-trichlorobenzeneacetic acid
20	fenoxaprop	<pre>(±)-2-[4-[(6-chloro-2-benzoxazolyl)oxy]- phenoxy]propanoic acid</pre>
	fenuron	N, N-dimethyl-N'-phenylurea
	fenuron TCA	Salt of fenuron and TCA
25	flamprop	N-benzoyl-N-(3-chloro-4-fluorophenyl)-DL-alanine
	fluazifop	<pre>(±)-2-[4-[[5-(trifluoromethyl)-2-pyri- dinyl]oxy]phenoxy]propanoic acid</pre>
30	fluazifop-P	<pre>(R)-2-[4-[[5-(trifluoromethy1)-2-pyri- dinyl]oxy]phenoxy]propanoic acid</pre>
	fluchloralin	N-(2-chloroethyl)-2,6-dinitro-N-propyl-4-(trifluoromethyl)benzenamine
	fluometuron	<pre>N,N-dimethyl-N'-[3-(trifluoromethyl)- phenyl]urea</pre>

	Common Name	Chemical Name
5	fluorochlor- idone	3-chloro-4-(chloromethyl)-1-[3-(tri-fluoromethyl)phenyl]-2-pyrrolidinone
	fluorodifen	<pre>p-nitrophenyl α,α,α-trifluoro-2-nitro- p-tolyl ether</pre>
10	fluorogly- cofen	<pre>carboxymethyl 5-[2-chloro-4-(tri- fluoromethyl)phenoxy]-2-nitrobenzoate</pre>
	fluridone	<pre>l-methyl-3-phenyl-5-[3-(trifluoro- methyl)phenyl]-4(1H)-pyridinone</pre>
	fomesafen	5-[2-chloro-4-(trifluoromethyl)phenoxy]- N-(methylsulfonyl)-2-nitrobenzamide
15	fosamine	ethyl hydrogen (aminocarbonyl)- phosphate
	glyphosate	N-(phosphonomethyl)glycine
	haloxyfop	<pre>2-[4-[[3-chloro-5-(trifluoromethy1)-2- pyridiny1]oxy]phenoxy]propanoic acid</pre>
20	hexaflurate	potassium hexafluoroarsenate
	hexazinone	3-cyclohexyl-6-(dimethylamino)-1-methyl- 1,3,5-triazine-2,4(1H,3H)-dione
25	imazametha- benz	6-(4-isopropyl-4-methyl-5-oxo-2- imidazolin-2-yl)-m-toluic acid, methyl ester and 6-(4-isopropyl- 4-methyl-5-oxo-2-imidazolin-2-yl)- p-toluic acid, methyl ester
	imazapyr	(±)-2-[4,5-dihydro-4-methyl-4-(1-methyl-ethyl)-5-oxo-1H-imidazol-2-yl]-3-pyridinecarboxylic acid
30	imazaquin	2-[4,5-dihydro-4-methyl-4-(1-methyl-ethyl)-5-oxo-lH-imidazol-2-yl]-3-quinolinecarboxylic acid
25	imazethapyr	(±)-2-[4,5-dihydro-4-methyl-4-(1-methyl-ethyl)-5-oxo-1H-imidazol-2-yl]-5-ethyl-3-pyridinecarboxylic acid

	Common Name	Chemical Name
5	ioxynil	4-hydroxy-3,5-diiodobenzonitrile
	isopropalin	<pre>4-(1-methylethyl)-2,6-dinitro-N,N- dipropylbenzenamine</pre>
	isoproturon	N-(4-isopropylphenyl)-N',N'-dimethylurea
10	isouron	N'-[5-(1,1-dimethylethyl)-3-isoxazolyl]- N,N-dimethylurea
	isoxaben	N-[3-(1-ethyl-1-methylpropyl)-5- isoxazolyl]-2,6-dimethoxybenzamide
	karbutilate	<pre>3-[[(dimethylamino)carbonyl]amino]- phenyl-(1,1-dimethylethyl)carbamate</pre>
15	lactofen	<pre>(±)-2-ethoxy-1-methyl-2-oxoethyl 5-[2- chloro-4-(trifluoromethyl)phenoxy]- 2-nitrobenzoate</pre>
	lenacil	3-cyclohexyl-6,7-dihydro-1H-cyclopenta- pyrimidine-2,4(3H,5H)-dione
20	linuron	N'-(3,4-dichlorophenyl)-N-methoxy-N-methylurea
	MAA	methylarsonic acid
	MAMA	monoammonium salt of MAA
25	MCPA	(4-chloro-2-methylphenoxy)acetic acid
23	MCPB	4-(4-chloro-2-methylphenoxy)butanoic acid
30	MON 7200	S,S-dimethyl-2-(difluoromethyl)-4- (2-methylpropyl)-6-(trifluoromethyl)- 3,5-pyridinedicarbothionate
	mecoprop	<pre>(±)-2-(4-chloro-2-methylphenoxy)- propanoic acid</pre>
35	mefenacet	2-(2-benzothiazolyloxy-N-methyl-N-phenylacetamide
	mefluidide	<pre>N-[2,4-dimethyl-5-[[(trifluoromethyl)- sulfonyl]amino]phenyl]acetamide</pre>
	methal- propalin	N-(2-methy1-2-propeny1)-2,6-dinitro-N- propy1-4-(trifluoromethy1)benzenamide

	Common Name	Chemical Name
5	methabenz- thiazuron	1,3-dimethyl-3-(2-benzothiazolyl)urea
	metham	methylcarbamodithioic acid
	methazole	2-(3,4-dichlorophenyl)-4-methyl-1,2,4-oxadiazolidine-3,5-dione
10	methoxuron	N'-(3-chloro-4-methoxyphenyl)-N,N-dimethylurea
	metolachlor	2-chloro-N-(2-ethyl-6-methylphenyl)-N- (2-methoxy-1-methylethyl)acetamide
15	metribuzin	4-amino-6-(1,1-dimethylethyl)-3-(methyl-thio)-1,2,4-triazin-5(4H)-one
13	metsulfuron methyl	<pre>2-[[[[(4-methoxy-6-methyl-1,3,5-tri- azin-2-yl)amino]carbonyl]- amino]sulfonyl]benzoic acid, methyl ester</pre>
	МН	1,2-dihydro-3,6-pyridazinedione
20	molinate	S-ethyl hexahydro-lH-azepine-l-carbo- thioate
	monolinuron	3-(p-chlorophenyl)-1-methoxy-1-methyl-urea
25	monuron	N'-(4-chlorophenyl)-N,N-dimethylurea
	monuron TCA	Salt of monuron and TCA
	MSMA	monosodium salt of MAA
30	napropamide	N,N-diethyl-2-(1-naphthalenyloxy)- propanamide
	naptalam	<pre>2-[(1-naphthalenylamino)carbonyl]- benzoic acid</pre>
35	neburon	<pre>l-butyl-3-(3,4-dichlorophenyl)-1-methyl- urea</pre>
	nitralin	<pre>4-(methylsulfonyl)-2,6-dinitro-N,N- dipropylaniline</pre>
	nitrofen	2,4-dichloro-1-(4-nitrophenoxy)benzene

	Common Name	Chemical Name		
5	nitrofluorfen	2-chloro-1-(4-nitrophenoxy)-4-(tri- fluoromethyl)benzene		
10	norea	N,N-dimethyl-N'-(octahydro-4,7-methano- 1H-inden-5-yl)urea 3aα,- 4α,5α,7α,7aα-isomer		
	norflurazon	<pre>4-chloro-5-(methylamino)-2-[3-(tri- fluoromethyl)phenyl]-3(2H)- pyridazinone</pre>		
	oryzalin	<pre>4-(dipropylamino)-3,5-dinitro- benzenesulfonamide</pre>		
15	oxadiazon	<pre>3-[2,4-dichloro-5-(1-methylethoxy)- phenyl]-5-(1,1-dimethylethyl)- 1,3,4-oxadiazo1-2(3H)-one</pre>		
	oxyfluorfen	2-chloro-1-(3-ethoxy-4-nitrophenoxy)-4- (trifluoromethyl)benzene		
	paraquat	1,1'-dimethyl-4,4'-dipyridinium ion		
20	pebulate	S-propyl butylethylcarbamothioate		
	pendimethalin	N-(1-ethylpropy1)-3,4-dimethy1-2,6-dinitrobenzenamine		
	perfluidone	<pre>1,1,1-trifluoro-N-[2-methyl-4-(phenyl- sulfonyl)phenyl]methanesulfonamide</pre>		
25	phenmedipham	<pre>3-[(methoxycarbonyl)amino]phenyl (3- methylphenyl)carbamate</pre>		
	picloram	4-amino-3,5,6-trichloro-2-pyridine-carboxylic acid		
30 35	PPG-1013	5-[2-chloro-4-(trifluoromethyl)- phenoxy]-2-nitroacetophenone oxime-0-acetic acid, methyl ester		
	pretilachlor	α-chloro-2,6-diethyl-N-(2-propoxy- ethyl)acetanilide		
	procyazine	2-[[4-chloro-6-(cyclopropylamino)-1,3,5-triazine-2-yl]amino]-2-methylpropane-nitrile		
	profluralin	N-(cyclopropylmethyl)-2,6-dinitro-N- propyl-4-(trifluoromethyl)benzenamine		

	Common Name	Chemical Name
5	prometon	6-methoxy-N,N'-bis(1-methylethyl)-1,3,5-triazine-2,4-diamine
	prometryn	N, N'-bis(l-methylethyl)-6-(methylthio)- 1,3,5-triazine-2,4-diamine
	pronamide	3,5-dichloro-N-(1,1-dimethyl-2-propyn-yl)benzamide
10	propachlor	2-chloro-N-(1-methylethyl)-N- phenylacetamide
	propanil	N-(3,4-dichlorophenyl)propanamide
15	propazine	6-chloro-N,N'-bis(1-methylethyl)- 1,3,5-triazine-2,4-diamine
	propham	1-methylethyl phenylcarbamate
	prosulfalin	N-[[4-(dipropylamino)-3,5-dinitro- phenyl]sulfonyl]-S,S-dimethylsulfil- imine
20	prynachlor	2-chloro-N-(1-methyl-2-propynyl)acet- anilide
	pyrazolate	4-(2,4-dichlorobenzoyl)-1,3-dimethyl- pyrazol-5-yl-p-toluenesulphonate
25	pyrazon	5-amino-4-chloro-2-phenyl-3(2H)- pyridazinone
	pyrazosulfuron ethyl	ethyl S-[3-(4,6-dimethoxypyrimidin-2-yl)ureadosulfonyl]-1-methylpyrazole-4-carboxylate
	quinclorac	3,7-dichloro-8-quinoline carboxylic acid
30	quizalofop ethyl	<pre>(±)-2-[4-[(6-chloro-2-quinoxaliny1)- oxy]phenoxy]propanoic acid, ethyl ester</pre>
35	secbumeton	N-ethyl-6-methoxy-N'-(1-methylpropyl)- 1,3,5-triazine-2,4-diamine
	sethoxydim	2-[1-(ethoxyimino)buty1]-5-[2-(ethy1-thio)propy1]-3-hydroxy-2-cyclohexen-1-one
	siduron	N-(2-methylcyclohexyl)-N'-phenylurea

	Common Name	<u>Chemical Name</u>
5	simazine	6-chloro-N,N'-diethyl-1,3,5-triazine- 2,4-diamine
	SK-233	<pre>1-(α,α-dimethylbenzyl)-3-(4-methyl- phenyl)urea</pre>
10	sulfometuron methyl	<pre>2-[[[(4,6-dimethyl-2-pyrimidinyl)- amino]carbonyl]amino]sulfonyl]- benzoic acid, methyl ester</pre>
	TCA	trichloroacetic acid
	tebuthiuron	N-[5-(1,1-dimethylethyl)-1,3,4-thiadi- azol-2-yl]-N,N'-dimethylurea
15	terbacil	5-chloro-3-(1,1-dimethylethyl)-6- methyl-2,4(1H,3H)-pyrimidinedione
	terbuchlor	<pre>N-(butoxymethyl)-2-chloro-N-[2-(1,1- dimethylethyl)-6-methylphenyl]- acetamide</pre>
20	terbuthyl- azine	2-(<u>tert</u> -butylamino)-4-chloro-6-(ethyl-amino)- <u>s</u> -triazine
	terbutol	2,6-di- <u>tert</u> -butyl- <u>p</u> -tolyl methylcar- bamate
25	terbutryn	N-(1,1-dimethylethyl)-N'-ethyl-6- (methylthio)-1,3,5-triazine- 2,4-diamine
25	thifensul- furon methyl	<pre>3-[[[(4-methoxy-6-methyl-1,3,5-triazin- 2-yl)amino]carbonyl]amino]sulfonyl]- 2-thiophenecarboxylic acid, methyl ester</pre>
30	thiobencarb	S-[(4-chlorophenyl)methyl] diethylcar- bamothioate
	triallate	S-(2,3,3-trichloro-2-propenyl) bis(1-methylethyl)carbamothioate
	tribenuron methyl	<pre>2-[[[N-(4-methoxy-6-methyl-1,3,5- triazine-2-yl)-N-methylamino]- carbonyl]amino]sulfonyl]benzoic</pre>
35		acid, methyl ester

	Common Name	Chemical Name
5	triclopyr	<pre>[(3,5,6-trichloro-2-pyridinyl)- oxy]acetic acid</pre>
	tridiphane	2-(3,5-dichlorophenyl)-2-(2,2,2-trichloroethyl)oxirane
10	trifluralin	2,6-dinitro-N,N-dipropyl-4-(tri-fluoromethyl)benzenamine
	trimeturon	<pre>1-(p-chloropheny1)-2,3,3-trimethylpseu- dourea</pre>
	2,4-D	(2,4-dichlorophenoxy)acetic acid
	2,4-DB	4-(2,4-dichlorophenoxy)butanoic acid
15	vernolate	S-propyl dipropylcarbamothicate
	xylachlor	2-chloro-N-(2,3-dimethylphenyl)-N- (1-methylethyl)acetamide
20		dal properties of the compounds that etermined in greenhouse tests. Test

results and procedures follow.

TABLE OF COMPOUNDS

5

CH₃ CH₂ CH₃

Compound 1

m p. 122-124°C

Compound 2

m p. 180-190°C

15

25

Compound 3

011

Compound 4 m p. 79-81°C

30

10 -

Compound 5 m p. 214-216°C

Compound 6 m p. 182-186°C

15

20

Compound 9 m p. 120-122°C

25

30

64

	Cmpd.	X	A	R^1	R ³	Z .	m.p.(°C)
			-				
5	10	CN	$CR^2(R^2=H)$	CO ₂ CH ₃	OCH ₃	N	Oil
	11	CN	$CR^2(R^2=H)$	CO ₂ Et	OCH ₃	СН	49-50
	16	CN	$CR^2(R^2=NO_2)$	CO ₂ CH ₃	OCH ₃	СН	126-128
	17	CO ₂ Et		CH ₃	OCH ₃	СН	Oil
	18	CO ₂ H	$CR^2(R^2=H)$	CH ₃	OCH ₃	СН	81-84
10	19	CO ₂ Et	$CR^2(R^2=H)$	Н	OCH ₃	СН	Oil
	20		$CR^2(R^2=CH_3)$	H	OCH ₃	СН	Oil
	21	_	$CR^2(R^2=F)$	Н	OCH ₃	СН	Oil
	22	CO ₂ Et	$CR^2(R^2=H)$	Н	CH ₃	N	Oil
		2.	, ,		3		
15			SPECT	RAL DATA			
	Compou	nd	Dat		•		
			=.				
	3	;	PMR(CDC1 ₃ ,90M	Hz) 8 2.:	35 (s.C)	I- 3H)	_
			3.9(s,OCH ₃ ,6H			_	•
20					_		`
	5.35(s,OCH ₂ ,2H), 5.85(s,pyrmH,1H), 7.1-7.6(m, ArH,OH).						
	10		PMR(CDCl ₃ ,200		90/5 (ים כש	้อน\
			4.00(s,OCH ₃ ,6				
			7.4-8.1(m,ArH		(S,CHC	N, IR)	•
25	17				25/4 0		
23	1,		PMR(CDC1 ₃ ,200			_),
	2.54(s,CH ₃ ,3H), 3.96(s,OCH ₃ ,6H), 4.2-4.4(M,CH ₂ O,2H), 5.49(s,CH,1H),						
			_				
	3.0		5.01(s, pyrmH				=
	19 PMR(CDCl ₃ ,200MHz)& 1.24(t,CH ₃ ,3H),						
30	3.89(s,OCH ₃ ,6H), 5.08(s,CH,1H),						
			5.89(s,pyrmH,				
	20		PMR(CDC1 ₃ ,200			•),
			2.33(s,CH ₃ ,3H	3.89	s,OCH ₃	,6H),	

4.1(m,OCH₂,2H), 5.05(s,CH₂,1H),

5.89(s,pyrmH,lH), 7.1-7.4(m.ArH,4H).

Compound

65

<u>Data</u>

		
5	21	IR (neat) υ co 1740cm ⁻¹
	22	PMR(CDCl ₃ ,200MHz) & 1.23(t,CH ₃ ,3H),
		2.55(s,CH ₃ ,3H), 4.0(s,OCH ₃ ,3H),
		4.2(q,OCH ₂ ,2H), 5.07(s,CH,1H),
		7.2-7.6(m,ArH,5H).
10		
	TEST A	
	Seeds	of barley (<u>Hordeum vulgare</u>),
		s (Echinochloa crus-galli), cheatgrass
		linus), cocklebur (Xanthium
15	pensylvanicu	m), corn (<u>Zea mays</u>), cotton (<u>Gossypium</u>
		rabgrass (<u>Digitaria</u> spp.), bedstraw
	(Galium apar	ine), giant foxtail (<u>Setaria faberii</u>),
		(Ipomoea hederacea), rice (Oryza
		ghum (<u>Sorghum bicolor</u>), soybean (<u>Glycine</u>
20		beet (<u>Beta vulgaris</u>), velvetleaf
		eophrasti), wheat (Triticum aestivum),
		ena fatua) and purple nutsedge (<u>Cyperus</u>
		pers were planted and treated
		with test chemicals dissolved in a
25		ic solvent. At the same time, these crop
	and weed spec	cies were also treated with postemergence
		of test chemicals. Plants ranged in
		two to eighteen cm (one to four leaf
20	stage) for po	estemergence treatments. Treated plants
30		were maintained in a greenhouse for
		tteen days, after which all species were
		controls and visually evaluated. Plant
		ngs, summarized in Table A, are based on
35		to 10 where 0 is no effect and 10 is
33	result.	crol. A dash (-) response means no test
	- 05416.	

Table A

5	COMPOUND				COMPOUND	
	Rate (2000 g/ha) POSTEMERGENCE	1	6	Rate (2000 g/ha) PREEMERGENCE	1	6
	Barley	9	9	Barley	9	9
10	Barnyardgrass	9	9	Barnyardgrass	9	9
	Cheatgrass	9	9	Cheatgrass	8	8
	Cocklebur	9	-	Cocklebur	9	9
,	Corn	9	9	Corn	9	9
	Cotton	10	9	Cotton	8	9
15	Crabgrass	8	7	Crabgrass	8	9
	Giant foxtail	9	9	Giant foxtail	9	9
	Morningglory	9	10	Morningglory	9	9
	Nutsedge	10	10	Nutsedge	10	10
	Rice	9	9	Rice	10	10
20	Sorghum	9	9	Sorghum	9	9
	Soybean	9	9	Soybean	9	9
	Sugar beet	9	10	Sugar beet	9	9
	Velvetleaf	9	10	Velvetleaf	9	9
	Wheat	9	8	Wheat	9	9
25	Wild Oat	9	9	Wild Oat	8	8

Table A

5		COMPOUND		COMPOUND
•	Rate (1000 g/ha) 6	Rate (1000 g/ha PREEMERGENCE) 6
	Barley	9	Barley	9
	Barnyardgrass	8	Barnyardgrass	9
10	Cheatgrass	9	Cheatgrass	8
	Cocklebur	10	Cocklebur	9
	Corn	9	Corn	7
	Cotton	9	Cotton	9
	Crabgrass	5	Crabgrass	9
15	Giant foxtail	8	Giant foxtail	9
	Morningglory	10	Morningglory	8
	Nutsedge		Nutsedge	10
	Rice	9	Rice	9
	Sorghum	9	Sorghum	9
20	Soybean	9	Soybean	9
	Sugar beet	9	Sugar beet	9
	Velvetleaf	10	Velvetleaf	9
	Wheat	8	Wheat	8
25	Wild Oat	9	Wild Oat	7

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Table A

5	C	OMPOUND		COMPOUND
	Rate (100 g/ha) POSTEMERGENCE	6	Rate (100 g/ha) PREEMERGENCE	6
	Barley	8	Barley	3
	Barnyardgrass	4	Barnyardgrass	8
10	Cheatgrass	8	Cheatgrass	7
	Cocklebur	9	Cocklebur	. 3
	Corn	7	Corn	0
	Cotton	9	Cotton	8
	Crabgrass	4	Crabgrass	5
15	Giant foxtail	5	Giant foxtail	5
	Morningglory	9	Morningglory	7
20	Nutsedge	9	Nutsedge	0
	Rice	9	Rice	7
	Sorghum	9	Sorghum	9
	Soybean	. 9	Soybean	9
	Sugar beet	9	Sugar beet	7
	Velvetleaf	9	Velvetleaf	9
	Wheat	2	Wheat	2
25	Wild Oat	7	Wild Oat	2

Table A

5		COMPOUND			COMPOUND			
	Rate (400 g/ha) POSTEMERGENCE	1	. 2	3	Rate (400 g/ha) PREEMERGENCE	1	2	3
	Barley	9	9	8	Barley	8	8	0
	Barnyardgrass	9	9	2	Barnyardgrass	9	9	0
10	Cheatgrass	9	9	8	Cheatgrass	8	9	0
	Cocklebur	9	9	2	Cocklebur	9	8	0
	Corn	9	9	9	Corn	9	9	2
	Cotton	9	3	0	Cotton	8	0	0
	Crabgrass	7	5	0	Crabgrass	7	6	0
15	Giant foxtail	9	9	7	Giant foxtail	9	9	2
	Morningglory	4	2	5	Morningglory	8	4	0
	Nutsedge	10	9	9	Nutsedge	10	10	0
	Rice	9	9	9	Rice	10	10	6
20	Sorghum	9	9	. 8	Sorghum	9	9	3
	Soybean	9	9	9	Soybean	9	9	3
	Sugar beet	9	10	10	Sugar beet	9	9	8
	Velvetleaf	9	9	7	Velvetleaf	9	9	0
	Wheat	9	8	2	Wheat	8	8	0
25	Wild Oat	9	8	6	Wild Oat	7	8	0

Table A

5		COMI	POUND		COMI	POUND
	Rate (50 g/ha) POSTEMERGENCE	2	3	Rate (50 g/ha) PREEMERGENCE	2	3 .
	Barley	7	3	Barley	6	0
3.0	Barnyardgrass	1	0	Barnyardgrass	6	0
10	Cheatgrass	9	4	Cheatgrass	7	0
	Cocklebur	7	1	Cocklebur	3	0
	Corn	9	6	Corn	9	0
	Cotton	0	0	Cotton	0	0
	Crabgrass	2	0	Crabgrass	2	0
15	Giant foxtail	7	0	Giant foxtail	8	0
	Morningglory	2	2	Morningglory	4	0
	Nutsedge	5	0 -	Nutsedge	10	0
	Rice	9	3	Rice	9	2
20	Sorghum	9	3	Sorghum	9	0
	Soybean	9	7	Soybean	6	0
	Sugar beet	9	9	Sugar beet	9	3
	Velvetleaf	9	4	Velvetleaf	7	0
	Wheat	3	0	Wheat	3	0
25	Wild Oat	0	0	Wild Oat	5	0

TEST B

Seeds of barley (Hordeum vulgare), barnyardgrass (Echinochloa crus-galli), bedstraw 5 (Galium aparine), blackgrass (Alopecurus myosuroides), cheatgrass (Bromus secalinus), chickweed (Stellaria media), cocklebur (Xanthium pensylvanicum), corn (Zea mays), cotton (Gossypium hirsutum), crabgrass (Digitaria spp.), giant foxtail 10 (Setaria faberii), lambsquarters (Chenopodium album), morningglory (Ipomoea hederacea), rape (Brassica napus), rice (Oryza sativa), sorghum (Sorghum bicolor), soybean (Glycine max), sugar beet (Beta vulgaris), velvetleaf (Abutilon theophrasti), wheat 15 (Triticum aestivum), wild buckwheat (Polygonum convolvulus), and wild oat (Avena fatua) and purple nutsedge (Cyperus rotundus) tubers were planted and treated preemergence with test chemicals dissolved in 20 a non-phytotoxic solvent. At the same time, these crop and weed species were also treated with postemergence applications of test chemicals. Plants ranged in height from two to eighteen cm (one to four leaf stage) for postemergence treatments. plants and controls were maintained in a greenhouse 25 for approximately twelve to sixteen days, after which. all species were compared to controls and visually evaluated. Plant response ratings, summarized in Table B, are based on a scale of 0 to 10 where 0 is no effect and 10 is complete control. A dash (-) 30 response means no test result.

5		COMPOUND		COMPOUND
	Rate (2000 g/ha POSTEMERGENCE) 9	Rate (2000 g/h PREEMERGENCE	a) 9
	Barley	7	Barley	7
	Barnyardgrass	2	Barnyardgrass	6
10	Bedstraw	-	Bedstraw	9
	Blackgrass	6	Blackgrass	8
	Cheatgrass	6	Cheatgrass	9
	Chickweed	7	Chickweed	9
15	Cocklebur	2	Cocklebur	3
	Corn	4.	Corn	2
	Cotton	9	Cotton	8
	Crabgrass	2	Crabgrass	-
	Giant foxtail	3	Giant foxtail	2
20	Lambsquarters	6	Lambsquarters	9
20	Morningglory	4	Morningglory	2
	Nutsedge	9	Nutsedge	~
	Rape	5	Rape	7
	Rice	4	Rice	8
25	Sorghum	9	Sorghum	7
25	Soybean	9	Soybean	9
	Sugar beet	9	Sugar beet	9
	Velvetleaf	9	Velvetleaf	8
	Wheat	2	Wheat	2
	Wild Buckwheat	8	Wild Buckwheat	9
30	Wild Oat	2	Wild Oat	7

5			COM	POUND		CC	MPO	UND
	Rate (400 g/ha) POSTEMERGENCE	4	5	9	Rate (400 g/ha) PREEMERGENCE	4	5	9
	Barley	3	3	2	Barley	0	0	0
	Barnyardgrass	2	0	0	Barnyardgrass	0	0	2
10	Bedstraw	9	9	4	Bedstraw	6	8	7
	Blackgrass	0	2	4	Blackgrass	5	4	4
	Cheatgrass	2	5	2	Cheatgrass	0	4	6
	Chickweed	6	8	6	Chickweed	6	5	9
	Cocklebur	1	6	3	Cocklebur	7	2	2
15	Corn	0	0	2	Corn	0	0	_
	Cotton	4	8	6	Cotton	0	5	8
	Crabgrass	0	2	2	Crabgrass	2	0	_
	Giant foxtail	0	3	2	Giant foxtail	2	2	2
	Lambsquarters	7	8	5	Lambsquarters	10	10	_
20	Morningglory	1	6	3	Morningglory	0	2	1
	Nutsedge	5	9	8 .	Nutsedge	0	9	_
	Rape	3	5	2	Rape	3	3	0
	Rice	0	5	2	Rice	0	3	2
	Sorghum	3	7	8	Sorghum	0	2	5
25	Soybean	9	9	9	Soybean	3	9	8
	Sugar beet	9	9	9	Sugar beet	4	6	9
	Velvetleaf	8	9	7	Velvetleaf	3	8	8
	Wheat	0	0	0	Wheat	0	0	0
	Wild Buckwheat	9	8	7	Wild Buckwheat	6	3	6
30	Wild Oat	0	1	2	Wild Oat	0	2	2

5		COI	MPOUND		COI	MPOUND
	Rate (100 g/ha) POSTEMERGENCE	4	5	Rate (100 g/ha) PREEMERGENCE	4	5
	Barley	0	0	Barley	0	0
	Barnyardgrass	0	0	Barnyardgrass	0	0
10	Bedstraw	9	7	Bedstraw	2	7
	Blackgrass	0	0	Blackgrass	3	2
	Cheatgrass	0	2	Cheatgrass	0	2
	Chickweed	4	5	Chickweed	5	4
	Cocklebur	0	2	Cocklebur	5	_
15	Corn	0	0	Corn	0	0
	Cotton	2	7	Cotton	0	0
	Crabgrass	0	0	Crabgrass	0	0
	Giant foxtail	0	0	Giant foxtail	2	2
	Lambsquarters	5	7	Lambsquarters	10	0
20	Morningglory	1	5	Morningglory	0	0
	Nutsedge	2	9	Nutsedge	0	5
	Rape	0	2	Rape	0	2
	Rice	0	3	Rice	0	0
	Sorghum	0	4	Sorghum	0	0
25	Soybean	9	9	Soybean	2	8
	Sugar beet	8	8	Sugar beet	0	1
	Velvetleaf	5	8	Velvetleaf	2	0
	Wheat	0	0	Wheat	0	0
	Wild Buckwheat	8	8	Wild Buckwheat	2	4
30	Wild Oat	0	0	Wild Oat	0	0

5		CC	OMPOUND)
•	Rate (2000 g/ha POSTEMERGENCE) 10	16	
	Barley	9	6	
	Barnyardgrass	9		
	Bedstraw	7		
	Blackgrass	9	_	
7.0	Cheatgrass	9		
10	Chickweed	9		
	Cocklebur	9		
	Corn	6	2	
	Cotton		9	
	Crabgrass		2	
	Giant foxtail		8	
	Lambsquarters		9	
15	Morningglory	8		
	Nutsedge	9	8	
	Rape	10	9	
	Rice	9	9	
	Sorghum	9		
	Soybean	9	-	
	Sugar beet	10		
20	Velvetleaf	9		
20	Wheat	6	6	
	Wild buckwheat	10		
	Wild oat	5	3	
	Table B	COME	POUND	
25	Rate (2000 g/ha) PREEMERGENCE	10	16	
	Barley	9	0	
	Barnyardgrass	8	5	
	Bedstraw		9	
	Blackgrass	9	6	
	Cheatgrass	8	8	
	Chickweed	10	9	
30	Cocklebur	-	-	
	Corn	3	1	
	Cotton	7	6	
	Crabgrass	5	2	
	Giant foxtail	7	1	
	Lambsquarters	9	9 2	
	Morningglory	5	2	
-	Nutsedge	5	7	
35	Rape	8	9	
	Rice	7	9	
	Sorghum	8	4	
	Soybean	9	9	
	Sugar beet	9	9	

	Table B	COMPOUN
	Velvetleaf	7 6
5	Wheat	7 4
	Wild buckwheat	7 9
	Wild oat	6 0
	Table B	COMPOUND
10	Rate (1000 g/h POSTEMERGENCE	a) 19
10	Barley	8
	Barnyardgrass	9
	Bedstraw	8
	Blackgrass	· 9
	Cheatgrass	9
	Chickweed	10
	Corn	9
15	Cotton	5
	Crabgrass	8
	Giant foxtail	8
-	Lambsquarters	9
	Morningglory	9
	Nutsedge	_
	Rape	9
20	Rice	9
20	Sorghum	, 9
	Soybean	6
	Sugar beet	10
	Velvetleaf	5
	Wheat	8
	Wild buckwheat	9
	Wild oat	9
25		_
	Table B CO	OMPOUND
	Rate (1000 g/ha	
	PREEMERGENCE	.,
	Barley	7
	Barnyardgrass	9
	Bedstraw	9
	Blackgrass	9
30	Cheatgrass	8
	Chickweed	9
	Corn	9
	Cotton	8
	Crabgrass	· 9
	Giant foxtail	9
	Lambsquarters	9
35	Morningglory	5
- -	Nutsedge	9
	Rape	6
	Rice	9
	Sorghum	9
		-

		IPOU	ND		
	Rate (1000 g/ha)	19			
	Soybean	8			
5	Sugar beet	9			
	Velvetleaf	2			
	Wheat	9			
	Wild buckwheat	8			
	Wild oat	9			
	Table B	(COMI	POUI	ND
10	Rate (400 g/ha)	10	11	16	18
	POSTEMERGENCE				
	Barley	9	0	6	0
	Barnyardgrass	9	0	3	_
	Bedstraw	7	3	8	2
	Blackgrass	9	0	5	1
	Cheatgrass	8	0	_	
15	Chickweed	9	7	9	3
	Cocklebur	9	-	-	-
	Corn	4	0	-	1
	Cotton	9	5	6	0
	Crabgrass	4	0	0	0
	Giant foxtail	7	0	7	0
	Lambsquarters	8	8	8	0
20	Morningglory	7	3	9	0
	Nutsedge	-	6	7	0
	Rape	9	2	9	0
	Rice	8	2	7	0
	Sorghum	9	0	8	3
	Soybean	9	9	9	0
	Sugar beet	10		9	3
	Velvetleaf	9	_	9	0
25	Wheat	5		2	2
	Wild buckwheat	9	8	8	0
	Wild oat	3	0	0	0

	Table B			C	OMPOUND
			•		
5	Rate (400 g/ha)	10	11	16	18
	PREEMERGENCE				
	Barley	8	0	0	0
	Barnyardgrass	7	0	3	3
	Bedstraw	2	5	3	0
10	Blackgrass	8	4	0	0
	Cheatgrass	8	3	8	5
	Chickweed	0	6	4	0
	Cocklebur	-	-	-	-
	Corn	2	0	0	0
15	Cotton	6	4	0	0
	Crabgrass	2	0	0	2
	Giant foxtail	3	0	1	2
	Lambsquarters	9	8	9	0
	Morningglory	2	0	0	0
20	Nutsedge	0	0	0	-
	Rape	7	2	3	0
	Rice	6	0	7	2
	Sorghum	7	1	0	9
	Soybean	9	8	6	0
25	Sugar beet	8	2	9	2
	Velvetleaf	3	1	3	1
	Wheat	5	0	2	.0
	Wild buckwheat	2	4	3	0
	Wild oat	4	2	0	2
30					

	Table B	COMPOUND
5	Rate (200 g/ha) POSTEMERGENCE	19
	Barley	5
	Barnyardgrass	8
	Bedstraw	6
10	Blackgrass	7
	Cheatgrass	7
	Chickweed	7
	Cocklebur	-
	Corn	8
15	Cotton	0
	Crabgrass	6
	Giant foxtail	4
	Lambsquarters	9
	Morningglory	1
20	Nutsedge	6
	Rape	7
	Rice	8
	Sorghum	7
	Soybean	4
25	Sugar beet	8
	Velvetleaf	2
	Wheat	2 .
	Wild buckwheat	7
	Wild Oat	5
30		

	Table B	COMPOUND
5	Rate (200 g/ha) PREEMERGENCE	12 19
	Barley	9 3
	Barnyardgrass	7 8
	Bedstraw	9 9
10	Blackgrass	3 8
	Cheatgrass	9 8
	Chickweed	10 9
	Cocklebur	
	Corn	1 5
15	Cotton	8 1
	Crabgrass	2 9
	Giant foxtail	2 8
	Lambsquarters	9 10
	Morningglory	9 0
20	Nutsedge	7 5
	Rape	9 6
	Rice	8 6 .
	Sorghum	8 5
	Soybean	9 2
25	Sugar beet	9 9
	Velvetleaf	9 0
	Wheat	8 7
	Wild buckwheat	9 3
	Wild oat	8 8
30		

	Table B		COMPOUND
5	Rate (100 g/ha) POSTEMERGENCE	11	18
	Barley	0	0
	Barnyardgrass	0	_
	Bedstraw	2	0
10	Blackgrass	0	0
	Cheatgrass	0	0
	Chickweed	0	0
	Cocklebur	_	_
	Corn	0	0
15	Cotton	2	0
	Crabgrass	0	0
	Giant foxtail	0	0
	Lambsquarters	4	0
	Morningglory	1	0
20	Nutsedge	0	-
	Rape	0	•
	Rice	0	_
	Sorghum	0	
	Soybean	8	_
25	Sugar beet	8	3
	Velvetleaf	0	•
	Wheat	0	•
	Wild buckwheat	7	0
	Wild oat	0	0
20		J	· ·

	Table B	COM	POUND
5	Rate (100 g/ha) PREEMERGENCE	11	18
	Barley	0	0
	Barnyardgrass	0	0
	Bedstraw	0	0
10	Blackgrass	2	0
	Cheatgrass	0	2
	Chickweed	0	0
	Cocklebur	_	-
	Corn	0	0
15	Cotton	0	0
	Crabgrass	0	0
	Giant foxtail	0	0
	Lambsquarters	0	0
	Morningglory	0	0
20	Nutsedge	0	0
	Rape	0	0
	Rice	0	0
	Sorghum	0	3
	Soybean	6	0
25	Sugar beet	0	2
	Velvetleaf	0	0
	Wheat	0	0
	Wild buckwheat	0	0
	Wild oat	0	. 0

TEST C

Seeds of barley (Hordeum vulgare), barnyardgrass (Echinochloa crus-galli), blackgrass 5 (Alopecurus myosuroides), chickweed (Stellaria media), cocklebur (Xanthium pensylvanicum), corn (Zea mays), cotton (Gossypium hirsutum), crabgrass (Digitaria spp.), downy brome (Bromus tectorum), giant foxtail (Setaria faberii), green foxtail 10 (Setaria viridis), jimsonweed (Datura stramonium), johnsongrass (Sorghum halepense), lambsquarters (Chenopodium album), morningglory (Ipomoea spp.), rape (Brassica napus), rice (Oryza sativa), sicklepod (Cassia obtusifolia), soybean (Glycine max), sugar 15 beet (Beta vulgaris), teaweed (Sida spinosa), velvetleaf (Abutilon theophrasti), wheat (Triticum aestivum), wild buckwheat (Polygonum convolvulus), and wild oat (Avena fatua) and purple nutsedge (Cyperus rotundus) tubers were planted and treated 20 preemergence with test chemicals dissolved in a non-phytotoxic solvent. At the same time, these crop and weed species were also treated with postemergence applications of test chemicals. Plants ranged in height from two to eighteen cm (two to three leaf 25 stage) for postemergence treatments. Treated plants and controls were maintained in a greenhouse for approximately eighteen to twenty-four days, after which all species were compared to controls and visually evaluated. Plant response ratings, 30 summarized in Table C, are reported on a 0 to 10 scale where 0 is no effect and 10 is complete control. A dash (-) response means no test result.

		COMPOUND		COMPOUND
5				
	Rate (250 g/ha)	1	Rate (250 g/ha) 1
	POSTEMERGENCE		PREEMERGENCE	
	Barley	8	Barley	7
	Barnyardgrass	9	Barnyardgrass	10
10	Blackgrass	7	Blackgrass	7
	Chickweed	10	Chickweed	9
	Cocklebur	9	Cocklebur	10
	Corn	10	Corn	10
	Cotton	3	Cotton	4
15	Crabgrass	7	Crabgrass	8
	Downy brome	7	Downy brome	8
	Giant foxtail	9	Giant foxtail	7
	Green foxtail	7	Green foxtail	8
	Jimsonweed	9	Jimsonweed	8
20	Johnsongrass	. 9	Johnsongrass	8
	Lambsquarters	10	Lambsquarters	-
	Morningglory	4	Morningglory	8
	Nutsedge	9	Nutsedge	10
25	Rape	9	Rape	10
25	Rice	9	Rice	10
	Sicklepod	8	Sicklepod	8
	Soybean	9	Soybean	8 .
	Sugar beet	10	Sugar beet	10
20	Teaweed	9	Teaweed	9
30	Velvetleaf	10	Velvetleaf	9
	Wheat	6	Wheat	6
	Wild buckwheat	10	Wild buckwheat	9
	Wild oat	7	Wild oat	7

5		COM	POUND		CC	MPOUND
	Rate (62 g/ha) POSTEMERGENCE	1	6	Rate (62 g/ha) PREEMERGENCE	1	. 6
	Barley	5	5	Barley	5	4
	Barnyardgrass	9	8	Barnyardgrass	7	8
10	Blackgrass	7	3	Blackgrass	5	3
	Chickweed	10	10	Chickweed	7	2
	Cocklebur	7	8	Cocklebur	10	6
	Corn	10	3	Corn	6	2
	Cotton	2	9	Cotton	3	9
15	Crabgrass	6	2	Crabgrass	7	0
	Downy brome	5	7	Downy brome	7	9
	Giant foxtail	8	4	Giant foxtail	3	3
	Green foxtail	4	4	Green foxtail	6	0
	Jimsonweed	9	8	Jimsonweed	7	9
20	Johnsongrass	7	8	Johnsongrass	7	9
	Lambsquarters	-	10	Lambsquarters	_	10
	Morningglory	0	9	Morningglory	6	9
	Nutsedge	9	3	Nutsedge	7	9
	Rape	8	10	Rape	8	4
25	Rice	9	7	Rice	10	2
	Sicklepod	8	6	Sicklepod	7	9
	Soybean	8	9	Soybean	6	9
	Sugar beet	10	10	Sugar beet	10	9
	Teaweed	8	9	Teaweed	9	9
30	Velvetleaf	10	9	Velvetleaf	9	3
	Wheat	4	3	Wheat	4	4
	Wild buckwheat	9	9	Wild buckwheat	8	9
	Wild oat	4	4	Wild oat	5	4

5		COMPOU	JND	COME	POUND
	Rate (16 g/ha) POSTEMERGENCE	1 6	Rate (16 g/ha) PREEMERGENCE	1	6
	Barley	4 2	Barley	3	2
3.0	Barnyardgrass	4 4	Barnyardgrass	6	4
10	Blackgrass	6 0	Blackgrass	4	0
	Chickweed	6 8	Chickweed	5	0
	Cocklebur	2 5	Cocklebur	9	3
	Corn	9 3	Corn	4	0
	Cotton	0 8	Cotton	3	9
15	Crabgrass	3 0	Crabgrass	5	0
	Downy brome	4 5	Downy brome	6	7
	Giant foxtail	4 2	Giant foxtail	0	0
	Green foxtail	2 2	Green foxtail	3	0
	Jimsonweed	7 5	Jimsonweed	6	9
20	Johnsongrass	6 7	Johnsongrass	6	8
	Lambsquarters	9 10	Lambsquarters	_	3
	Morningglory	0 9	Morningglory	6	9
	Nutsedge	4 2	Nutsedge	5	3
	Rape	6 9	Rape	8	0
25	Rice	8 5	Rice	8	0
	Sicklepod	4 5	Sicklepod	6	6
	Soybean	6 8	Soybean	4	9
	Sugar beet	10 9	Sugar beet	9	8
	Teaweed	6 7	Teaweed	9	9
30	Velvetleaf	8 9	Velvetleaf	9	0
	Wheat	2 2	Wheat	3	2
	Wild buckwheat	9 8	Wild buckwheat	7	8
	Wild .oat	2 2	Wild oat	3	2

5		COM	POUND		COM	POUND
	Rate (4 g/ha) POSTEMERGENCE	1	6	Rate (4 g/ha) PREEMERGENCE	1	6
	Barley	2	0	Barley	0	0
10	Barnyardgrass	2	0	Barnyardgrass	3	3
10	Blackgrass	4	0	Blackgrass	4	0
	Chickweed	4	7	Chickweed	3	0
	Cocklebur	0	5	Cocklebur	7	0
	Corn	5	0	Corn	2	0
15	Cotton	0	8	Cotton	0	_
13	Crabgrass	0	0	Crabgrass	3	0
	Downy brome	3	3	Downy brome	4	2
	Giant foxtail	1	0	Giant foxtail	0	0
	Green foxtail	2	0	Green foxtail	3	0
20	Jimsonweed	5	5	Jimsonweed	3	9
20	Johnsongrass	3	4	Johnsongrass	4	5
	Lambsquarters	8	8	Lambsquarters	_	0
	Morningglory	0	8	Morningglory	-	5
	Nutsedge	4	0	Nutsedge	3	0
25	Rape	5	7	Rape	7	0
25	Rice	7	3	Rice	4	0
	Sicklepod	4	4	Sicklepod	5	4
	Soybean	4	6	Soybean	3	8
	Sugar beet	10	9	Sugar beet	8	3
	Teaweed	4	5	Teaweed	8	8
30	Velvetleaf	7	7	Velvetleaf	8	0
	Wheat	0	0	Wheat	0	0
	Wild buckwheat	7	6	Wild buckwheat	6	2
	Wild,oat	0	0	Wild oat	0	0

10

TEST D

The compound evaluated in this test was formulated in a non-phytoxic solvent and applied to the soil surface before plant seedlings emerged (preemergence application), to water that covered the soil surface (paddy application), and to plants that were in the one-to-four leaf stage (postemergence application). A sandy loam soil was used for the preemergence and postemergence tests, while a silt loam soil was used in the paddy test. Water depth was approximately 2.5 cm for the paddy test and was maintained at this level for the duration of the test.

15 Plant species in the preemergence and postemergence tests consisted of barley (Hordeum vulgare), bedstraw (Galium aparine), blackgrass (Alopecurus myosuroides), chickweed (Stellaria media), corn (Zea mays), cotton (Gossypium hirsutum), 20 crabgrass (Digitaria sanguinalis), downy brome (Bromus tectorum), giant foxtail (Setaria faberii), lambsquarters (Chenopodium album), morningglory (Ipomoea hederacea), pigweed (Amaranthus retroflexus), rape (Brassica napus), ryegrass (Lolium 25 multiflorum), sorghum (Sorghum bicolor), soybean (Glycine max), speedwell (Veronica persica), sugar beet (Beta yulgaris), velvetleaf (Abutilon theophrasti), wheat (Triticum aestivum), wild buckwheat (Polygonum convolvulus), and wild oat 30 (Avena fatua). All plant species were planted one day before application of the compound for the preemergence portion of this test. Plantings of these species were adjusted to produce plants of appropriate size for the postemergence portion of the test. Plant species in the paddy test consisted of 35

barnyardgrass (Echinochloa crus-galli), rice (Oryza

sativa), and umbrella sedge (Cyperus difformis).

All plant species were grown using normal greenhouse practices. Visual evaluations of injury expressed on treated plants, when compared to untreated controls, were recorded approximately fourteen to twenty-one days after application of the test compound. Plant response ratings, summarized in Table D, were recorded on a zero to ten scale where zero is no injury and ten is plant death. A dash (-) response means no test result.

_		COMPOUND	С	OMPOUND
5				
	Rate (500 g/ha) 2	Rate (500 g/ha)	2
	POSTEMERGENCE		PADDY	
	Barley	10	Barnyardgrass	8
	Bedstraw	10	Rice	8.
10	Blackgrass	10	Umbrella sedge	9
	Chickweed	10		
	Corn	10		
	Cotton	6		
	Crabgrass	4		
15	Downy brome	9		
	Giant foxtail	9		
	Lambsquarters	10		
	Morningglory	6		
	Pigweed	10		
20	Rape	9		
	Ryegrass	7		
	Sorghum	7		
	Soybean	10		
25	Speedwell	10		
25	Sugar beet	10		
	Velvetleaf	10	•	
	Wheat	8		
	Wild buckwheat	10		
30	Wild oat	8		

5		COMPOUND		COMPOUND
	Rate (500 g/ha)	2	Rate (250 g/h	a) 2
	PREEMERGENCE		POSTEMERGENCE	
	Barley	8	Barley	10
10	Bedstraw	9	Bedstraw	10
10	Blackgrass	7	Blackgrass	10
	Chickweed	9	Chickweed	10
	Corn	10	Corn	10
	Cotton	5	Cotton	4
3.5	Crabgrass	8	Crabgrass	3
15	Downy brome	8	Downy brome	9
	Giant foxtail	9 .	Giant foxtail	9
	Lambsquarters	9 .	Lambsquarters	10
	Morningglory	8	Morningglory	4
	Pigweed	10	Pigweed	10
20	Rape	9	Rape	8
	Ryegrass	9	Ryegrass	_
•	Sorghum	10	Sorghum	7
	Soybean	9	Soybean	10
0.5	Speedwell	9	Speedwell	10
25	Sugar beet	9	Sugar beet	10
	Velvetleaf	9	Velvetleaf	10
	Wheat	8	Wheat	8
	Wild buckwheat	9	Wild buckwheat	10
30	Wild oat	7	Wild oat	6

5	СО	MPOUND		COMPOUND
	Rate (250 g/ha) PADDY	2	Rate (250 g/ha PREEMERGENCE) 2
	Barnyardgrass	7	Barley	8
3.0	Rice	8	Bedstraw	9
10	Umbrella sedge	9	Blackgrass	6
			Chickweed	9
			Corn	9
			Cotton	4
15			Crabgrass	8
13			Downy brome	8
			Giant foxtail	8
			Lambsquarters	9
			Morningglory	7
20			Pigweed	10
20			Rape	9
			Ryegrass	8
			Sorghum	10
			Soybean	9
25			Speedwell	9
23			Sugar beet	9
			Velvetleaf	9
			Wheat	7
			Wild buckwheat	9
30			Wild oat	7

5		COMPOUND		COMPOUND
	Rate (125 g/ha) 2	Rate (125 g/ha)	2
	POSTEMERGENCE		PADDY	•
	Barley	9	Barnyardgrass	7
10	Bedstraw	10	Rice	8
10	Blackgrass	9	Umbrella sedge	9
	Chickweed	10	_	
	Corn	7		
	Cotton	4		
	Crabgrass	0	• •	
15	Downy brome	9		
	Giant foxtail	7		
	Lambsquarters	10		
	Morningglory	2		
	Pigweed	10		
20	Rape	6		
	Ryegrass	7		
	Sorghum	6	•	
	Soybean	10		
	Speedwell	10	•	
25	Sugar beet	10		
	Velvetleaf	10	•	
	Wheat	7		
	Wild buckwheat	10		
	Wild oat	5		
30		-		

5		COMPOUND		COMPOUND
	Rate (125 g/ha) PREEMERGENCE	2	Rate (62 g/ha)	2
	Barley	7	Barley	8
	Bedstraw	9	Bedstraw	10
10	Blackgrass	6	Blackgrass	9
	Chickweed	9	Chickweed	10
	Corn	9	Corn	6
	Cotton	2	Cotton	2
	Crabgrass	7	Crabgrass	0
15	Downy brome	7	Downy brome	8
	Giant foxtail	7	Giant foxtail	6
	Lambsquarters	9 ,	Lambsquarters	10
	Morningglory	7	Morningglory	0
	Pigweed	10	Pigweed	10
20	Rape	8	Rape	5
	Ryegrass	8	Ryegrass	6
	Sorghum	10	Sorghum	6
	Soybean	8	Soybean	10
	Speedwell	9	Speedwell	10
25	Sugar beet	9	Sugar beet	9
	Velvetleaf	8	Velvetleaf	10
	Wheat	7	Wheat	6
	Wild buckwheat	9	Wild buckwheat	10
30	Wild oat	6	Wild oat	4

5		COMPOUND		COMPOUND
	Rate (62 g/ha) PADDY	2	Rate (62 g/ha) PREEMERGENCE	2
	Barnyardgrass	7	Barley	6
3.0	Rice	8	Bedstraw	9
10	Umbrella sedge	8	Blackgrass	5
			Chickweed	8
			Corn	8
			Cotton	0
15			Crabgrass	5
13			Downy brome	7
			Giant foxtail	6
		•	Lambsquarters	9
			Morningglory	7
20			Pigweed	10
20			Rape	8
			Ryegrass	7
	,		Sorghum	9
			Soybean	8
25			Speedwell	9
2.5			Sugar beet	8
			Velvetleaf	8
		,	Wheat	4
			Wild buckwheat	9
30			Wild oat	5

CLAIMS

5 What is claimed:

1. A compound of the formula:

10

$$Q \longrightarrow N \longrightarrow Z$$

$$Z$$

$$Q \longrightarrow Z$$

15

I

20

wherein

Q is

25

20

$$R^6$$
 N
 $CH X$
 $CH X$
 R^1
 $Q-5$
 $Q-6$

$$\begin{array}{c|c}
 & X & R^1 \\
 & CH & R^6 \\
\hline
X & R^1 \\
\hline
X & R^1 \\
\hline
X & R^1 \\
\hline
X & R^6
\end{array}$$
Q-7 Q-8

------.

15

A is CR^2 , N or N-O; X is H, F, C1, CH₃, OH, C(0)NR¹²R¹³, CO_2R^{14} or 20 CN; R^1 is H, CHO, C(OCH₃)₂H, CO_2R^5 or C(O)SR¹¹; R^2 is H, F, C1, C_1-C_2 alkyl, C_1-C_2 -alkoxy, C_2-C_3 alkynyl, C_2-C_3 alkenyl, $S(0)_nC_1-C_2$ 25 alkyl, NO2, phenoxy, C2-C4 alkylcarbonyl, $C(OCH_3)_2CH_3$, or $C(SCH_3)_2CH_3$; R^3 is C_1-C_2 alkyl, C_1-C_2 alkoxy, OCF_2H or C1; R^4 is C_1-C_2 alkyl; R^5 is H; M; C_1-C_3 aikyl; C_2-C_3 haloalkyl; 30 allyl; propargyl; benzyl optionally substituted with halogen, C1-C2 alkyl, C1-C2 alkoxy, CF_3 , NO_2 , SCH_3 , $S(0)CH_3$, or $S(0)_2CH_3$; C_2-C_4 alkoxyalkyl; $N=CR^7R^8$; or $CHR^9S(0)_nR^{10};$ R^6 is H, F, C1, CH_3 , OCH_3 or $S(O)_nCH_3$; 35 R^7 is C1, C_1 - C_2 alkyl or SCH_3 ;

```
R^8 is C_1-C_2 alkyl, CO_2(C_1-C_2 alkyl) or
                   C(0)N(CH_3)_2;
               \mathbb{R}^9 is H or \mathbb{CH}_3;
  5
                      is C_1-C_3 alkyl or phenyl optionally
       substituted with halogen, CH_3, OCH_3 or NO_2;
              Rll
                      is C<sub>1</sub>-C<sub>2</sub> alkyl or benzyl;
              R<sup>12</sup>
                      is H or CH<sub>3</sub>;
              R13
                      is H or CH<sub>3</sub>;
 10
                      is H, C_1-C_3 alkyl, C_2-C_5 haloalkyl, C_3-C_5
              R14
       alkenyl, C_3-C_5 alkynyl, C_2-C_5 alkoxyalkyl or benzyl
       optionally substituted with CH_3, OCH_3, SCH_3, halogen,
       NO2 or CF3;
15
              m is 0 or 1;
              n is 0, 1 or 2;
              M is a alkali metal atom or an alkaline earth
      metal atom, an ammonium group or an alkylammonium
      group; and
20
              Z is CH or N.
              and their agriculturally suitable salts;
      provided that:
                 (a) when R^1 is H, then X is CO_2R^{14};
                 (b) when X is CO_2R^{14}, then R^1 is H; and.
                 (c) when Z is N, then \mathbb{R}^3 is \mathbb{C}_1-\mathbb{C}_2 alkyl or
25
      C_1-C_2 alkoxy.
                     The compounds of Claim 1 wherein Q is Q-1
               2.
      or Q-2.
30
                    The compounds of Claim 2 wherein
                    \mathbb{R}^2 is H, F, C1, \mathrm{CH}_3, \mathrm{SCH}_3, \mathrm{OCH}_3 or
```

OCH₂CH₃.

- 4. The compounds of Claim 3 wherein R⁶ is H;

 5 Z is CH;
 R³ is OCH₃;
 R⁴ is CH₃; and
 X is H.
- The compounds of Claim 3 wherein R⁶ is H or 3-F;
 Z is CH;
 R³ is OCH₃;
 R⁴ is CH₃;
 X is CO₂R¹⁴; and R¹⁴ is C₁-C₃ alkyl, allyl, propargyl or benzyl.
- 6. The compound of Claim 3 which is 20 2-[cyano(4,6-dimethoxy-2-pyrimidinyl)methyl]-benzoic acid.
- 7. The compounds of Claim 4 wherein Q is Q-1;

 R¹ is CO₂R⁵; and R⁵ is H or M.
- 8. The compounds of Claim 4 wherein Q is Q-2;

 R¹ is CO₂R⁵; and R⁵ is H or M.
 - 9. The compound of Claim 5 which is ethyl 4,6-dimethoxy-alpha-phenyl-2-pyrimidineacetate.

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10. The compound of Claim 7 which is 2-[(4,6-dimethoxy-2-pyrimidinyl)methyl]-6-methylbenzoic acid.

11. The compound of Claim 7 which is 2-[(4,6-dimethoxy-2-pyrimidinyl)methyl]-6-methyl benzoic acid, sodium salt.

10

- 12. The compound of Claim 7 which is 2-[(4,6-dimethoxy-2-pyrimidiny1)methy1]-3-pyridine carboxylic acid.
- 13. A composition suitable for controlling the growth of undesired vegetation which comprises an effective amount of a compound of Claim 1 and at least one of the following: surfactant, solid or liquid diluent.

20

- 14. A composition suitable for controlling the growth of undesired vegetation which compresses an effective amount of a compound of Claim 2 and at least one of the following: surfactant, solid or liquid diluent.
- the growth of undesired vegetation which compresses an effective amount of a compound of Claim 3 and at least one of the following: surfactant, solid or liquid diluent.
- 16. A composition suitable for controlling the growth of undesired vegetation which compresses
 35 an effective amount of a compound of Claim 4 and at

least one of the following: surfactant, solid or liquid diluent.

5

- 17. A composition suitable for controlling the growth of undesired vegetation which compresses an effective amount of a compound of Claim 5 and at least one of the following: surfactant, solid or liquid diluent.
- 18. A composition suitable for controlling the growth of undesired vegetation which compresses an effective amount of a compound of Claim 6 and at least one of the following: surfactant, solid or liquid diluent.
- 19. A composition suitable for controlling the growth of undesired vegetation which compresses 20 an effective amount of a compound of Claim 7 and at least one of the following: surfactant, solid or liquid diluent.
- 20. A composition suitable for controlling 25 the growth of undesired vegetation which compresses an effective amount of a compound of Claim 8 and at least one of the following: surfactant, solid or liquid diluent.
- 21. A composition suitable for controlling the growth of undesired vegetation which compresses an effective amount of a compound of Claim 9 and at least one of the following: surfactant, solid or liquid diluent.

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22. A composition suitable for controlling the growth of undesired vegetation which compresses an effective amount of a compound of Claim 10 and at least one of the following: surfactant, solid or liquid diluent.

- 23. A composition suitable for controlling
 the growth of undesired vegetation which compresses
 an effective amount of a compound of Claim 11 and at
 least one of the following: surfactant, solid or
 liquid diluent.
- 15 24. A composition suitable for controlling the growth of undesired vegetation which compresses an effective amount of a compound of Claim 12 and at least one of the following: surfactant, solid or liquid diluent.

20

5

25. A method for controlling the growth of undesired vegetation which compresses applying to the locus to be protected an effective amount of a compound of Claim 1.

25

26. A method for controlling the growth of undesired vegetation which compresses applying to the locus to be protected an effective amount of a compound of Claim 2.

30

27. A method for controlling the growth of undesired vegetation which compresses applying to the locus to be protected an effective amount of a compound of Claim 3.

- 28. A method for controlling the growth of undesired vegetation which compresses applying to the locus to be protected an effective amount of a compound of Claim 4.
- 29. A method for controlling the growth of undesired vegetation which compresses applying to the
 10 locus to be protected an effective amount of a compound of Claim 5.
- 30. A method for controlling the growth of undesired vegetation which compresses applying to the locus to be protected an effective amount of a compound of Claim 6.
- 31. A method for controlling the growth of undesired vegetation which compresses applying to the20 locus to be protected an effective amount of a compound of Claim 7.
- 32. A method for controlling the growth of undesired vegetation which compresses applying to the
 25 locus to be protected an effective amount of a compound of Claim 8.
- 33. A method for controlling the growth of undesired vegetation which compresses applying to the locus to be protected an effective amount of a compound of Claim 9.
- 34. A method for controlling the growth of undesired vegetation which compresses applying to the locus to be protected an effective amount of a compound of Claim 10.

35. A method for controlling the growth of undesired vegetation which compresses applying to the
locus to be protected an effective amount of a compound of Claim 11.

36. A method for controlling the growth of undesired vegetation which compresses applying to the
10 locus to be protected an effective amount of a compound of Claim 12.

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INTERNATIONAL SEARCH REPORT

International Application No

PCT/US 90/07417

			101/05 90
L. CLAS	SIFICATION OF SUBJECT MATTER (II several classificat	ion symbols apply, indicate all) 6	
IPC ⁵	ng to International Patent Classification (IPC) or to both National C 07 D 239/52, C 07 D 251/20	Classification and IPC, C 07 D 401/06, A	01 N 43/54,
	<u>A 01 N 43/66</u>		
II. FIELD	S SEARCHED		
Classificat	Minimum Documentatio		
CHERNICE	Clas	sification Symbols	
IPC ⁵	C 07 D 239/00,C 07 D 2	51/00.C 07 D 406/	00,A 01 N
	Documentation Searched other than to the Extent that such Documents are		-
W 200			
Category *	UMENTS CONSIDERED TO BE RELEVANT	40 -440	Salaman An Olaisa No. 19
	Citation of Document, 11 with Indication, where appropria	ite, of the relevant passages 12	Relevant to Claim No. 18
A	GB, A, 1 585 950 (ICI) 11 March 1981 (11.03.81), see claim 14; compounds No. 106		1,13, 25
P,A	EP, A2, 0 360 163 (BASF) 28 March 1990 (28.03.90), see claim (cited in the applica	s 1,5,8 tion).	1,13, 25
A	DE, A1, 2 656 183 (AKZO) 23 June 1977 (23.06.77), see claim	2.	1
• Special	categories of cited documents: 16 T	later document published after the	international filing date
	ment defining the general state of the art which is not idered to be of particular relevance	or priority date and not in conflict cited to understand the principle	with the application but
"E" carlie	er document but published on or after the international	Invention document of particular relevance	• • •
-	date ment which may throw doubts on priority claim(s) or	cannot be considered novel or e	cannot be considered to
which	h is situal to establish the mublication data of pootbor	document of particular relevance	the claimed invention
"O" docu	ment referring to an oral disclosure, use, exhibition or	cannot be considered to involve a document is combined with one combined with the co	or more other such docu-
"P" docu	ment published prior to the international filing date but	ments, such combination being of in the art. document member of the same po	•
IV. CERTI	FICATION		
Date of the	Actual Completion of the International Search Date	le of Mailing of this International Sea	urch Report
•	03 April 1991		7 MAY 1991
Internationa	al Searching Authority Sig	nature of Authorized Officer	
	EUROPEAN PATENT OFFICE	MISS D. BYK	WATCZ JK

ANHERS zum internationalen Recherchenbericht über die internationale Patentanmeldung Nr.

ANNEX

to the International Search Report to the International Patent Application No.

ANNEXE

au rapport de recherche international relatif à la demande de brevet international n°

SA44312

In diesem Anhang sind die Mitglieder der Patentfamilien der im obengenamntem internationalem Recherchembericht cited in the above-mentioned interangeführten Patentdokumente angegeben. Diese Angaben dienen nur zur Unterrichtung und erfolgen ohne Gewähr.

This Annex lists the patent family members relating to the patent documents national search report. The Office is in no way liable for these particulars which are given merely for the purpose of information.

La présente annexe indique les membres de la famille de brevets relatifs aux documents de brevets cités dans le rapport de recherche international visée ci-dessus. Les reseignements fournis sont donnés à titre indicatif et n'engagent pas la responsibilité de l'Office.

In Recherchenbericht angeführtes Patentdokument Patent document cited in search report Document de brevet cité dans le rapport de recherche	Datum der Veröffentlichung Publication date Date de publication	Mitglied(er) der Patentfamilie Patent family member(s) Membre(s) de la famille de brevets	Datum der Veröffentlichung Publication date Date de publication	
GB-A - 1585950	11-03-81	AU-A1-27169/77 AU-B2- 508770 BR-A - 7704988 CA-A1- 1077038 DE-A1- 2734827 FR-A1- 2360581 FR-B1- 2360581 GB-A - 1585950 IT-A - 1085431 JP-A2-53018589 NL-A - 7708516 NZ-A - 188895 ZA-A - 7704294	25-01-79 03-04-80 28-03-78 06-05-80 09-02-78 03-03-78 15-04-83 11-03-81 28-05-85 20-02-78 06-02-78 01-11-79 01-11-79 28-06-78	
EP-A2- 360163	28- 03-90	DE-A1- 3832237 EP-A3- 360163 HU-A2- 53634 JP-A2- 2121973	29-03-90 10-10-90 28-11-90 09-05-90	1974b
DE-A1- 2656183	23-06-77	CA-A1- 1077480 JP-A2-52073194 NL-A - 7514613 US-A - 4124763 US-A - 4197388 US-A - 4284769	13-05-80 18-06-77 20-06-77 07-11-78 08-04-80 18-08-81	***************************************